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 NEWS  1          Web Page for STN Seminar Schedule - N. America
 NEWS  2  DEC 01  ChemPort single article sales feature unavailable
 NEWS  3  APR 03  CAS coverage of exemplified prophetic substances
                  enhanced
 NEWS  4  APR 07  STN is raising the limits on saved answers
 NEWS  5  APR 24  CA/CAplus now has more comprehensive patent assignee
                  information
 NEWS  6  APR 26  USPATFULL and USPAT2 enhanced with patent
                  assignment/reassignment information
 NEWS  7  APR 28  CAS patent authority coverage expanded
 NEWS  8  APR 28  ENCOMPLIT/ENCOMPLIT2 search fields enhanced
 NEWS  9  APR 28  Limits doubled for structure searching in CAS
                  REGISTRY
 NEWS 10  MAY 08  STN Express, Version 8.4, now available
 NEWS 11  MAY 11  STN on the Web enhanced
 NEWS 12  MAY 11  BEILSTEIN substance information now available on
                  STN Easy
 NEWS 13  MAY 14  DGENE, PCTGEN and USGENE enhanced with increased
                  limits for exact sequence match searches and
                  introduction of free HIT display format
 NEWS 14  MAY 15  INPADOCDB and INPAFAMDB enhanced with Chinese legal
                  status data
 NEWS 15  MAY 28  CAS databases on STN enhanced with NANO super role in
                  records back to 1992
 NEWS 16  JUN 01  CAS REGISTRY Source of Registration (SR) searching
                  enhanced on STN

 NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
              AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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FILE 'HOME' ENTERED AT 08:52:02 ON 05 JUN 2009
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=> fil reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.22       0.22

FILE 'REGISTRY' ENTERED AT 08:52:28 ON 05 JUN 2009
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STRUCTURE FILE UPDATES:     4 JUN 2009  HIGHEST RN 1152369-03-3
DICTIONARY FILE UPDATES:    4 JUN 2009  HIGHEST RN 1152369-03-3

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http://www.cas.org/support/stngen/stndoc/properties.html

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Uploading C:\Program Files\Stnexp\Queries\QUERIES\10538342.str
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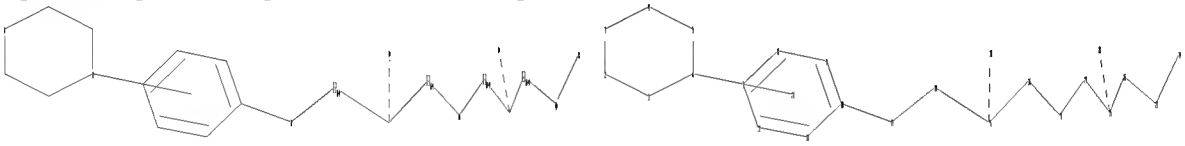

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13  14  15  16  17  18  24  25  26  27  28  34
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  12
chain bonds :
10-13  13-14  14-15  15-16  15-18  16-17  17-24  24-25  25-26  25-28  26-27  27-34
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-12  7-8  8-9  9-10  10-11  11-12
exact/norm bonds :
1-2  1-6  2-3  3-4  4-5  5-6  10-13  13-14  15-18  16-17  17-24  25-28  26-27
27-34
exact bonds :
14-15  15-16  24-25  25-26
normalized bonds :
7-12  7-8  8-9  9-10  10-11  11-12
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Match level :
1:CLASS  2:CLASS  3:CLASS  4:CLASS  5:CLASS  6:CLASS  7:CLASS  8:CLASS  9:CLASS
10:CLASS  11:CLASS  12:CLASS  13:CLASS  14:CLASS  15:CLASS  16:CLASS  17:CLASS
18:CLASS  23:CLASS  24:CLASS  25:CLASS  26:CLASS  27:CLASS  28:CLASS  34:CLASS
Generic attributes :
27:
Saturation             : Unsaturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : Exactly 1
Type of Ring System    : Monocyclic

Element Count :
Node 27: Limited
    O,O0
    N,N0
    S,S1
    C,C4




L1      STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l1
SAMPLE SEARCH INITIATED 08:53:00 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    15538 TO ITERATE

 12.9% PROCESSED     2000 ITERATIONS                                 2 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       303292 TO   318228
PROJECTED ANSWERS:              74 TO      546

L2            2 SEA SSS SAM L1

=> s l2 full
FULL SEARCH INITIATED 08:53:07 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   311528 TO ITERATE

100.0% PROCESSED   311528 ITERATIONS                               119 ANSWERS
SEARCH TIME: 00.00.11

L3          119 SEA SSS FUL L1

=> s l1 full
FULL SEARCH INITIATED 08:53:27 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   311528 TO ITERATE
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100.0% PROCESSED   311528 ITERATIONS                          119 ANSWERS
SEARCH TIME: 00.00.09

L4          119 SEA SSS FUL L1

=> s l4 and caplus/lc
      66744009 CAPLUS/LC
L5         117 L4 AND CAPLUS/LC

=> s l4 not l4
L6           0 L4 NOT L4

=> s l4 not l5
L7           2 L4 NOT L5

=> d l7 1-2
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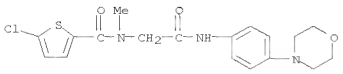
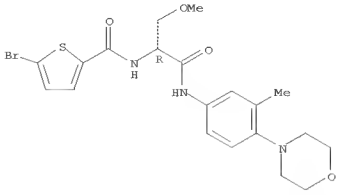
L7 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2009 ACS on STN
RN 1031563-46-8 REGISTRY
ED Entered STN: 29 Jun 2008
CN 2-Thiophenecarboxamide, 5-chloro-N-methyl-N-[2-[[4-(4-morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)
MF C18 H20 Cl N3 O3 S
SR Chemical Library
Supplier: Aurora Fine Chemicals
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L7 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2009 ACS on STN
RN 1027377-56-5 REGISTRY
ED Entered STN: 11 Jun 2008
CN 2-Thiophenecarboxamide, 5-bromo-N-[(1R)-1-(methoxymethyl)-2-[[3-methyl-4-(4-morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)
FS STEREOSEARCH
MF C20 H24 Br N3 O4 S
SR Other Sources
Database: ChemSpider (ChemZoo, Inc.)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     382.17     382.39

FILE 'CAPLUS' ENTERED AT 08:55:00 ON 05 JUN 2009
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FILE LAST UPDATED: 4 Jun 2009  (20090604/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Feb 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Feb 2009

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate

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     FILE 'REGISTRY' ENTERED AT 08:52:28 ON 05 JUN 2009
L1             STRUCTURE UPLOADED
L2               2 S L1
L3             119 S L2 FULL
L4             119 S L1 FULL
L5             117 S L4 AND CAPLUS/LC
L6               0 S L4 NOT L4
L7               2 S L4 NOT L5

     FILE 'CAPLUS' ENTERED AT 08:55:00 ON 05 JUN 2009

=> s l5
L8             23 L5

=> d ibib abs hitstr 1-23
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L8 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:49737 CAPLUS
DOCUMENT NUMBER: 150:121634
TITLE: Preparation of 3-phenyl-2-oxazolidinones as thrombolytic agents
INVENTOR(S): Lerchen, Hans-Georg; Krenz, Ursula; Haerter, Michael; Gnoth, Mark Jean; Degenfeld, Georges; Dittrich-Wengenroth, Elke; Buchmueller, Anja; Roehrig, Susanne; Allerheiligen, Swen; Perzborn, Elisabeth; Gerdes, Christoph; Schlemmer, Karl-Heinz; Akbaba, Metin
PATENT ASSIGNEE(S): Bayer HealthCare A.-G., Germany
SOURCE: Ger. Offen., 46pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102007032347	A1	20090115	DE 2007-102007032347	20070711
WO 2009007026	A1	20090115	WO 2008-EP5301	20080628

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, GM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: DE 2007-102007032347A 20070711

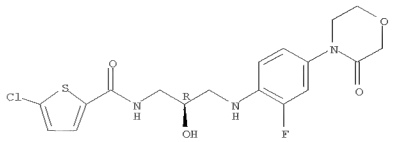
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Y = (CH2)n; n = 1-2; X = S, O, NH; R1 = amino acid with provisos; R2 = H, Me; R3 = H, R1 and R3 is a (CH2)3 or (CH2)4] and their pharmaceutically acceptable salts and formulations were prepared For example, oxazolidinone II hydrochloride was an example of title compds.
I.
Compds. I are claimed useful as thrombolytic agents.
IT 1093628-70-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenyloxazolidinones as thrombolytic agents)
RN 1093628-70-6 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(2R)-3-[[2-fluoro-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



L8 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:49736 CAPLUS
DOCUMENT NUMBER: 150:121633
TITLE: Preparation of 3-phenyl-2-oxazolidinones as thrombolytic agents
INVENTOR(S): Lerchen, Hans-Georg; Krenz, Ursula; Haerter, Michael; Gnoth, Mark Jean; Degenfeld, Georges; Dittrich-Wengenroth, Elke; Buchmueller, Anja; Roehrig, Susanne; Allerheiligen, Swen; Perzborn, Elisabeth; Gerdes, Christoph; Schlemmer, Karl-Heinz; Akbaba, Metin
PATENT ASSIGNEE(S): Bayer HealthCare A.-G., Germany
SOURCE: PCT Int. Appl., 75pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009007026	A1	20090115	WO 2008-EP5301	20080628

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

DE 102007032347	A1	20090115	DE 2007-102007032347	20070711

PRIORITY APPLN. INFO.: DE 2007-102007032347A 20070711

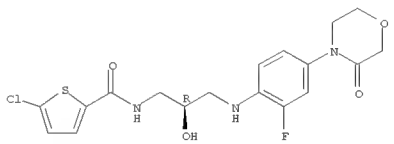
OTHER SOURCE(S): MARPAT 150:121633
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Y = (CH2)n; n = 1-2; X = S, O, NH; R1 = amino acid with provisos; R2 = H, Me; R3 = H, R1 and R3 is a (CH2)3 or (CH2)4] and their pharmaceutically acceptable salts and formulations were prepared For example, oxazolidinone II hydrochloride was an example of title compds.
I.
Compds. I are claimed useful as thrombolytic agents.
IT 1093628-70-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenyloxazolidinones as thrombolytic agents)
RN 1093628-70-6 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(2R)-3-[[2-fluoro-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)

L8 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:49734 CAPLUS
DOCUMENT NUMBER: 150:144485
TITLE: Preparation of N-phenyl-2-oxazolidinones as antithrombotic agents
INVENTOR(S): Lerchen, Hans-Georg; Krenz, Ursula; Haerter, Michael; Gnoth, Mark Jean; Degenfeld, Georges; Dittrich-Wengenroth, Elke; Buchmueller, Anja; Roehrig, Susanne; Allerheiligen, Swen; Perzborn, Elisabeth; Gerdes, Christoph; Schlemmer, Karl-Heinz; Akbaba, Metin
PATENT ASSIGNEE(S): Bayer HealthCare A.-G., Germany
SOURCE: Ger. Offen., 49pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102007032345	A1	20090115	DE 2007-102007032345	20070711
WO 2009007027	A1	20090115	WO 2008-EP5303	20080628

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: DE 2007-102007032345A 20070711

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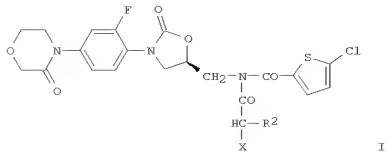
L8 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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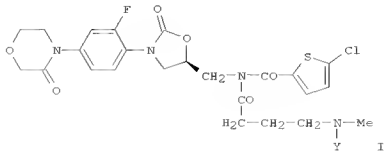

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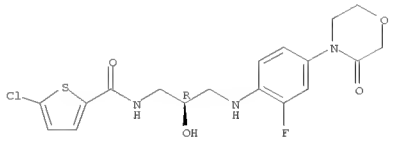
AB Title compds. I [X = L-NH-R1; R1 = H, alkyl with provisos; R2 = H, alkyl; L = alkandiyl with provisos] and their pharmaceutically acceptable salts and formulations were prepared For example, TFA mediated deprotection of Cbz-amine II [Y = Cbz] afforded the TFA salt of amine II [Y = H]. Compds.
I are claimed useful as antithrombotic agents.

IT 1093628-70-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenyloxazolidinones as antithrombotic agents)

RN 1093628-70-6 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(2R)-3-[[2-fluoro-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:49733 CAPLUS
DOCUMENT NUMBER: 150:144484
TITLE: Preparation of N-phenyl-2-oxazolidinones as antithrombotic agents
INVENTOR(S): Lerchen, Hans-Georg; Krenz, Ursula; Haerter, Michael; Gnoth, Mark Jean; Degenfeld, Georges; Dittrich-Wengenroth, Elke; Buchmueller, Anja; Roehrig, Susanne; Allerheiligen, Swen; Perzborn, Elisabeth; Gerdes, Christoph; Schlemmer, Karl-Heinz; Akbaba, Metin
PATENT ASSIGNEE(S): Bayer HealthCare A.-G., Germany
SOURCE: PCT Int. Appl., 80pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009007027	A1	20090115	WO 2008-EP5303	20080628

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

DE 102007032345	A1	20090115	DE 2007-102007032345	20070711

PRIORITY APPLN. INFO.: DE 2007-102007032345A 20070711

OTHER SOURCE(S): MARPAT 150:144484
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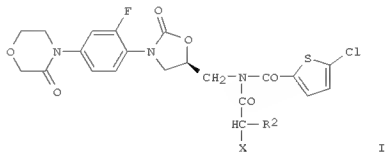
L8 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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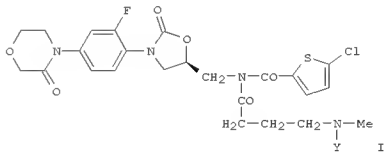


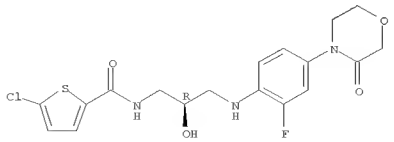
I

AB Title compds. I [X = L-NH-R1; R1 = H, alkyl with provisos; R2 = H, alkyl; L = alkandiyl with provisos] and their pharmaceutically acceptable salts and formulations were prepared For example, TFA mediated deprotection of Cbz-amine II [Y = Cbz] afforded the TFA salt of amine II [Y = H]. Compds.
I are claimed useful as antithrombotic agents.

IT 1093628-70-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenyloxazolidinones as antithrombotic agents)

RN 1093628-70-6 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(2R)-3-[[2-fluoro-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1530218 CAPLUS
DOCUMENT NUMBER: 150:77662
TITLE: Preparation of oxazolidinones for the treatment of thromboembolic disorders
INVENTOR(S): Roehrig, Susanne; Haerter, Michael; Gnoth, Mark Jean; Degenfeld, Georges; Dittrich-Wengenroth, Elke; Buchmueller, Anja; Allerheiligen, Swen; Perzborn, Elisabeth; Gerdes, Christoph; Schlemmer, Karl-Heinz; Akbaba, Metin
PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany
SOURCE: PCT Int. Appl., 119pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008155034	A1	20081224	WO 2008-EP4564	20080607
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
DE 102007028320	A1	20081224	DE 2007-102007028320	20070620
PRIORITY APPLN. INFO.:			DE 2007-102007028320A	20070620

OTHER SOURCE(S): MARPAT 150:77662
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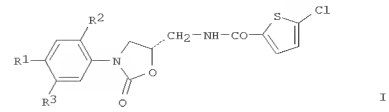
L8 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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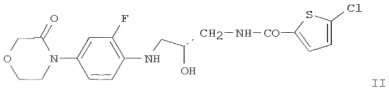

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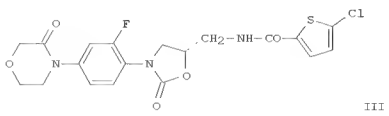
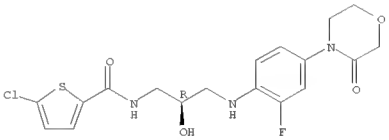


III

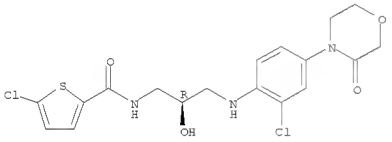
AB Title compds. I [R1 = heterocycle with provisos; R2 = halo, CF3, OCF3; R3 = H, Cl, CH3, etc.] and their pharmaceutically acceptable salts and formulations were prepared. For example, DCI/DMAP mediated cyclization of amino alc. II afforded oxazolidinone III in 68% yield. In Factor Xa inhibition assays, 4-examples of compds. I exhibited IC50 values ranging from 0.9-2.2 nM.
IT 1093628-70-6P 1093628-85-3P 1093628-88-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazolidinones for the treatment of thromboembolic disorders)
RN 1093628-70-6 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(2R)-3-[[2-fluoro-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)

Absolute stereochemistry.



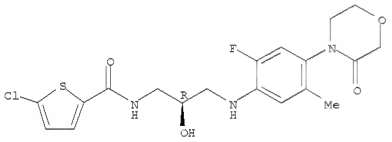
RN 1093628-85-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(2R)-3-[[2-chloro-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)

L8 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
Absolute stereochemistry.



RN 1093628-88-6 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(2R)-3-[[2-fluoro-5-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L8 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1527570 CAPLUS
DOCUMENT NUMBER: 150:77659
TITLE: Preparation of oxazolidinones for the treatment of thromboembolic disorders
INVENTOR(S): Roehrig, Susanne; Haerter, Michael; Gnoth, Mark Jean; Degenfeld, Georges; Dittrich-Wengenroth, Elke; Buchmueller, Anja; Allerheiligen, Swen; Perzborn, Elisabeth; Gerdes, Christoph; Schlemmer, Karl-Heinz; Akbaba, Metin
PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany
SOURCE: Ger. Offen., 72pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102007028320	A1	20081224	DE 2007-102007028320	20070620
WO 2008155034	A1	20081224	WO 2008-EP4564	20080607
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			DE 2007-102007028320A	20070620

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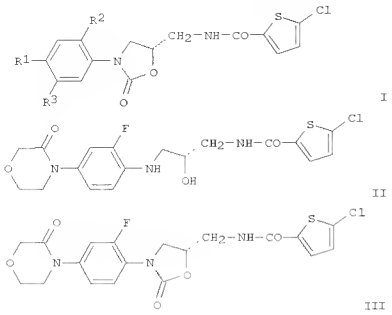
L8 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

II

III

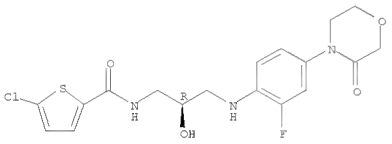
AB Title compds. I [R1 = heterocycle with provisos; R2 = halo, CF3, OCF3; R3 = H, Cl, CH3, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, DCI/DMAP mediated cyclization of amino alc. II afforded oxazolidinone III in 68% yield. In Factor Xa inhibition assays, 4-examples of compds. I exhibited IC50 values ranging from 0.9-2.2 nM.

IT 1093628-70-6P 1093628-85-3P 1093628-88-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazolidinones for the treatment of thromboembolic disorders)

RN 1093628-70-6 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[(2R)-3-[[2-fluoro-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)

Absolute stereochemistry.

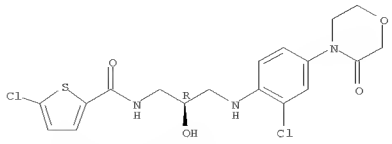


RN 1093628-85-3 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[(2R)-3-[[2-chloro-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)

L8 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

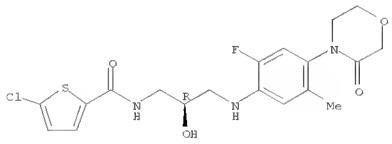
Absolute stereochemistry.



RN 1093628-88-6 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[(2R)-3-[[2-fluoro-5-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)

Absolute stereochemistry.



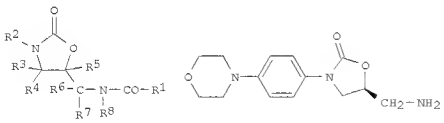
L8 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:556060 CAPLUS
DOCUMENT NUMBER: 148:538247
TITLE: Preparation of oxazolidinones for the treatment of thromboembolic disorders
INVENTOR(S): Perzborn, Elisabeth
PATENT ASSIGNEE(S): Bayer Healthcare AG, Germany
SOURCE: PCT Int. Appl., 120pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008052671	A2	20080508	WO 2007-EP9068	20071019
WO 2008052671	A3	20080703		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
DE 102006051625	A1	20080508	DE 2006-102006051625	20061102
PRIORITY APPLN. INFO.:			DE 2006-102006051625A	20061102

OTHER SOURCE(S): MARPAT 148:538247

GI



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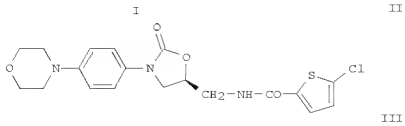
II



III

AB Title compds. I [R1 = substituted 2-thiophen; R2 = D-A; A = phenylene; D =

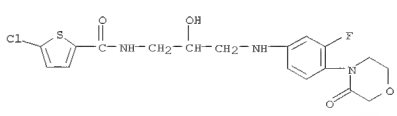
L8 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

5 or 6-membered heterocycles; R3, R4, R5, R6, R7, R8 = H] and their pharmaceutically acceptable salts and formulations were prepd. For example, coupling of amine II and 2-chloro-5-carboxythiophene afforded oxazolidinone III. In a blood-coagulation factor Xa assay, oxazolidinone III exhibited an IC50 value of 43 nM.

IT 482305-96-4P, 5-Chloro-N-(3-((3-fluoro-4-(3-oxo-4-morpholinyl)phenyl)amino)-2-hydroxypropyl)-thiophene-2-carboxamide
482305-98-6P, 5-Chloro-N-(2-hydroxy-3-((4-(3-oxo-4-morpholinyl)phenyl)amino)-propyl)-thiophene-2-carboxamide
482306-15-0P, 5-Chloro-N-(2-hydroxy-3-((3-trifluoromethyl-4-(3-oxo-4-morpholinyl)phenyl)amino)-propyl)-thiophene-2-carboxamide
482306-16-1P, 5-Chloro-N-(2-hydroxy-3-((3-methyl-4-(3-oxo-4-morpholinyl)phenyl)amino)-propyl)-thiophene-2-carboxamide
482306-17-2P, 5-Chloro-N-(2-hydroxy-3-((3-cyano-4-(3-oxo-4-morpholinyl)phenyl)amino)-propyl)-thiophene-2-carboxamide
482306-20-7P, 5-Chloro-N-(2-hydroxy-3-((3,5-dimethyl-4-(3-oxo-4-morpholinyl)phenyl)amino)-propyl)-thiophene-2-carboxamide
482306-21-8P, 5-Chloro-N-(2-hydroxy-3-((3-carbamoyl-4-(4-morpholinyl)phenyl)amino)-propyl)-thiophene-2-carboxamide
482306-22-9P, 5-Chloro-N-(2-hydroxy-3-((3-methoxy-4-(4-morpholinyl)phenyl)amino)-propyl)-thiophene-2-carboxamide
482306-23-0P, 5-Chloro-N-(2-hydroxy-3-((3-acetyl-4-(4-morpholinyl)phenyl)amino)-propyl)-thiophene-2-carboxamide
482306-24-1P, 5-Chloro-N-(2-hydroxy-3-((3-amino-4-(3-oxo-4-morpholinyl)phenyl)amino)-propyl)-thiophene-2-carboxamide
482306-25-2P, 5-Chloro-N-(2-hydroxy-3-((3-chloro-4-(2-methyl-3-oxo-4-morpholinyl)phenyl)amino)-propyl)-thiophene-2-carboxamide
482306-26-3P, 5-Chloro-N-(2-hydroxy-3-((3-chloro-4-(2-methyl-5-oxo-4-morpholinyl)phenyl)amino)-propyl)-thiophene-2-carboxamide
934274-22-3P, 5-Chloro-N-(2-hydroxy-3-((3-chloro-4-(3-oxo-4-morpholinyl)phenyl)amino)-propyl)-thiophene-2-carboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazolidinones for the treatment of thromboembolic disorders)

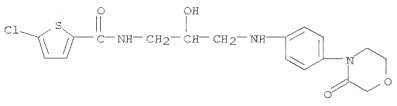
RN 482305-96-4 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[3-[[3-fluoro-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)



RN 482305-98-6 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[2-hydroxy-3-[[4-(3-oxo-4-morpholinyl)phenyl]amino]propyl]- (CA INDEX NAME)



RN 482306-15-0 CAPLUS
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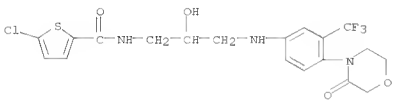
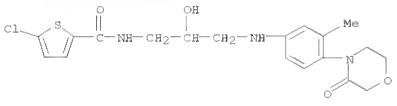
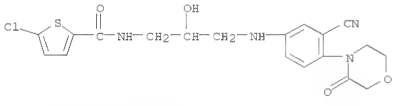


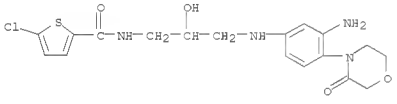
RN 482306-16-1 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-hydroxy-3-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]propyl]- (CA INDEX NAME)



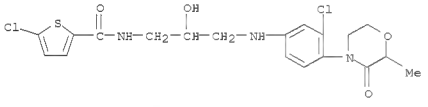
RN 482306-17-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[3-[[3-cyano-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)



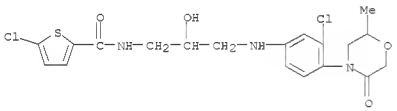
RN 482306-20-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[3-[[3,5-dimethyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)



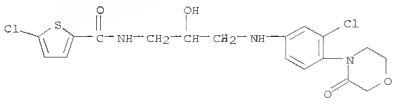
RN 482306-25-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[3-[[3-chloro-4-(2-methyl-3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)

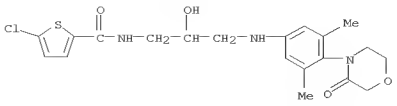


RN 482306-26-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[3-[[3-chloro-4-(2-methyl-5-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)

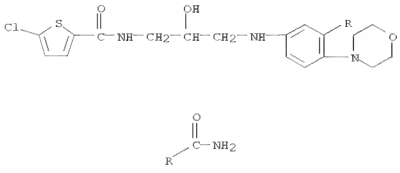


RN 934274-22-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[3-[[3-chloro-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)





RN 482306-21-8 CAPLUS
CN 2-Thiophenecarboxamide, N-[3-[[3-(aminocarbonyl)-4-(4-morpholinyl)phenyl]amino]-2-hydroxypropyl]-5-chloro- (CA INDEX NAME)



RN 482306-22-9 CAPLUS
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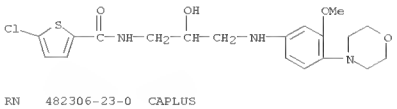
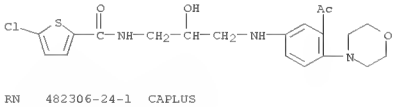


RN 482306-23-0 CAPLUS
CN 2-Thiophenecarboxamide, N-[3-[[3-acetyl-4-(4-morpholinyl)phenyl]amino]-2-hydroxypropyl]-5-chloro- (CA INDEX NAME)



RN 482306-24-1 CAPLUS
CN 2-Thiophenecarboxamide, N-[3-[[3-amino-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]-5-chloro- (CA INDEX NAME)

ACCESSION NUMBER: 2008:317641 CAPLUS
DOCUMENT NUMBER: 148:285176
TITLE: Preparation of substituted oxazolidinones for use in treatment of disorders associated with blood coagulation
INVENTOR(S): Straub, Alexander; Lampe, Thomas; Pohlmann, Jens; Roehrig, Susanne; Perzborn, Elisabeth; Schlemmer, Karl-Heinz; Pernerstorfer, Joseph
PATENT ASSIGNEE(S): Bayer Healthcare AG, Germany
SOURCE: U.S., 71pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 7157456	B2	20070102	US 2002-181051	20020624
US 20030153610	A1	20030814		
DE 19962924	A1	20010705	DE 1999-19962924	19991224
WO 2001047919	A1	20010705	WO 2000-EP12492	20001211
WO 2001047919	A9	20021219		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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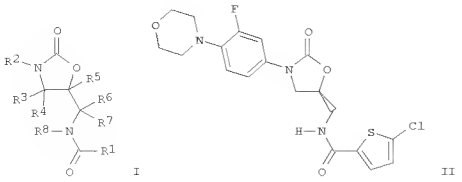
AU 2004202422	B2	20071122		
US 20060258724	A1	20061116	US 2006-460529	20060727
US 20080090815	A1	20080417	US 2007-932082	20071031
US 20080200674	A1	20080821	US 2008-27553	20080207

PRIORITY APPLN. INFO.:

DE 1999-19962924	A	19991224
WO 2000-EP12492	W	20001211
AU 2001-28414	A3	20001211
US 2002-181051	A3	20020624
US 2006-460529	A3	20060727

OTHER SOURCE(S): MARPAT 148:285176
GI

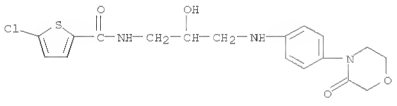


I II

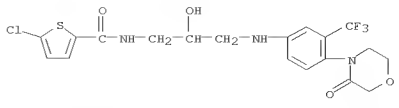
AB Title compds. I [R1 = (un)substituted benzofused thiophene; R2 = mono or polysubstituted aryl ring wherein when monosubstituted the substituent is a covalently bound heterocycle; R3-8 independently = H or alkyl], and their pharmaceutically acceptable salts, are prepared and disclosed for use in treatment of diseases related to the field of blood coagulation disorders. Thus, e.g., II was prepared by amidation of (5S)-5-(aminomethyl)-3-(3-fluoro-4-morpholinophenyl)-1,3-oxazolidin-2-one with 5-chlorothiophene-2-carboxylic acid. I were evaluated for their antithrombotic activity, e.g., II demonstrated an ED50 value of 10 mg/kg i.v.

IT 482305-98-6P 482306-15-0P 482306-16-1P
482306-17-2P 482306-20-7P 482306-21-8P
482306-22-9P 482306-23-0P 482306-24-1P
482306-25-2P 482306-26-3P 934274-22-3P
1008527-21-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted oxazolidinones for use in treatment of disorders associated with blood coagulation)

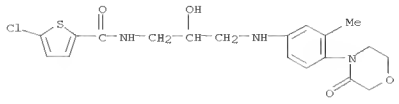
RN 482305-98-6 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-hydroxy-3-[[4-(3-oxo-4-morpholinyl)phenyl]amino]propyl]- (CA INDEX NAME)



RN 482306-15-0 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-hydroxy-3-[[4-(3-oxo-4-morpholinyl)-3-(trifluoromethyl)phenyl]amino]propyl]- (CA INDEX NAME)



RN 482306-16-1 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-hydroxy-3-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]propyl]- (CA INDEX NAME)



RN 482306-17-2 CAPLUS
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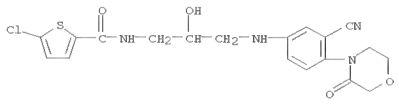

RN 482306-20-7 CAPLUS
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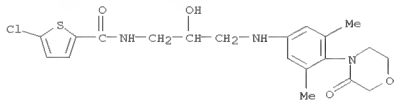
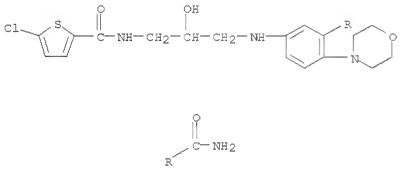


RN 482306-21-8 CAPLUS
CN 2-Thiophenecarboxamide, N-[3-[[3-(aminocarbonyl)-4-(4-morpholinyl)phenyl]amino]-2-hydroxypropyl]-5-chloro- (CA INDEX NAME)



RN 482306-22-9 CAPLUS
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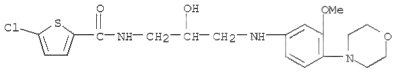
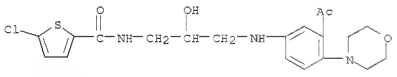
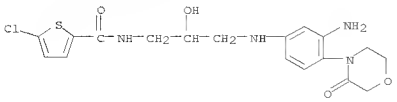


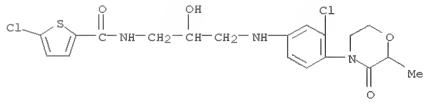
RN 482306-23-0 CAPLUS
CN 2-Thiophenecarboxamide, N-[3-[[3-acetyl-4-(4-morpholinyl)phenyl]amino]-2-hydroxypropyl]-5-chloro- (CA INDEX NAME)



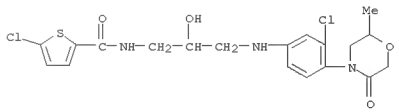
RN 482306-24-1 CAPLUS
CN 2-Thiophenecarboxamide, N-[3-[[3-amino-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]-5-chloro- (CA INDEX NAME)



RN 482306-25-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[3-[[3-chloro-4-(2-methyl-3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)



RN 482306-26-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[3-[[3-chloro-4-(2-methyl-5-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)



RN 934274-22-3 CAPLUS
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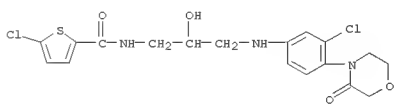
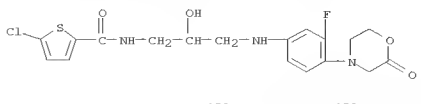


RN 1008527-21-6 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[3-[[3-fluoro-4-(2-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)



REFERENCE COUNT: 150 THERE ARE 150 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:410196 CAPLUS
DOCUMENT NUMBER: 146:421970
TITLE: Preparation of oxazolidinones for the treatment of cerebral circulatory disorders
INVENTOR(S): Perzborn, Elisabeth; Krahn, Thomas
PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany
SOURCE: PCT Int. Appl., 132pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 20070391341	A1	20070412	WO 2006-EP9204	20060922
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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AU 2006299128	A1	20070412	AU 2006-299128	20060922
CA 2624323	A1	20070412	CA 2006-2624323	20060922
EP 1933841	A1	20080625	EP 2006-805807	20060922
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JP 2009510141	T	20090312	JP 2008-533897	20060922
IN 2008DN02514	A	20080627	IN 2008-DN2514	20080326
MX 2008004360	A	20080421	MX 2008-4360	20080401
NO 2008002044	A	20080703	NO 2008-2044	20080429
KR 2008059283	A	20080626	KR 2008-710681	20080502
CN 101321533	A	20081210	CN 2006-80045567	20080604
US 20080306070	A1	20081211	US 2008-89169	20080605

PRIORITY APPLN. INFO.: DE 2005-102005047558A 20051004
WO 2006-EP9204 W 20060922

OTHER SOURCE(S): MARPAT 146:421970
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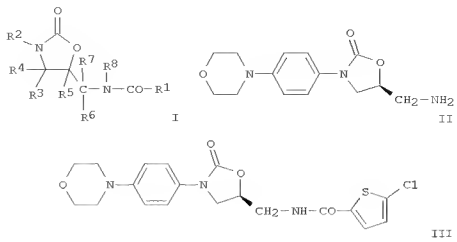

I II III

AB Title compds. I [R1 = substituted 2-thiophene with provisos; R2 = D-A-; A = phenylene; D = 5- or 6-membered heterocycle; R3, R4, R5, R6, R7, R8 = H]
and their pharmaceutically acceptable salts and formulations were prepared
For example, coupling of amine II and 5-chlorothiophene-2-carboxylic acid afforded oxazolidinone III. In a blood-coagulation factor Xa inhibition assay, compound III exhibited an IC50 value of 43 nM.

IT 482305-96-4P 482305-98-6P 482306-15-0P
482306-16-1P 482306-17-2P 482306-20-7P
482306-21-8P 482306-22-9P 482306-23-0P
482306-24-1P 482306-25-2P 482306-26-3P
934274-22-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazolidinones for treatment of cerebral circulatory disorders)

RN 482305-96-4 CAPLUS
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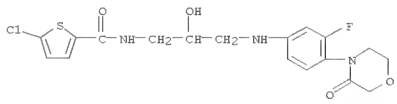

RN 482305-98-6 CAPLUS
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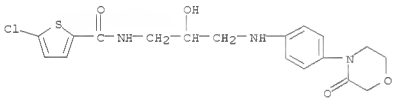
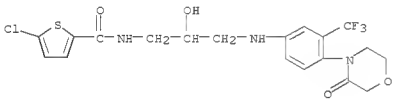
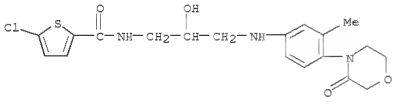


RN 482306-15-0 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-hydroxy-3-[[4-(3-oxo-4-morpholinyl)-3-(trifluoromethyl)phenyl]amino]propyl]- (CA INDEX NAME)



RN 482306-16-1 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-hydroxy-3-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]propyl]- (CA INDEX NAME)



RN 482306-17-2 CAPLUS
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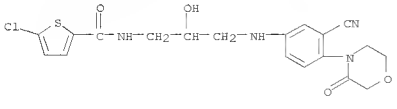
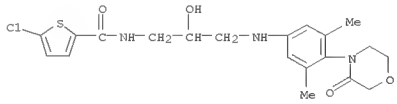


RN 482306-20-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[3-[[3,5-dimethyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)



RN 482306-21-8 CAPLUS
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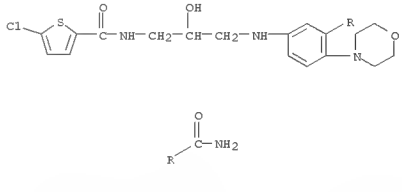

RN 482306-22-9 CAPLUS
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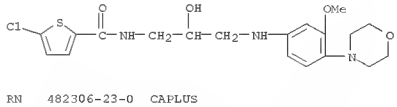

RN 482306-23-0 CAPLUS
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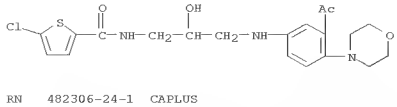
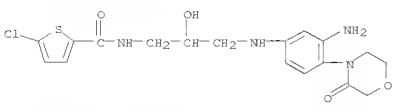
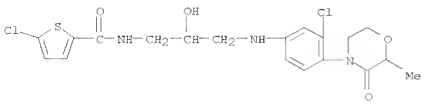


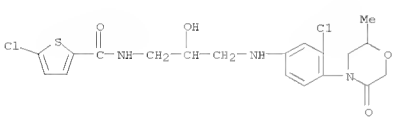
RN 482306-24-1 CAPLUS
CN 2-Thiophenecarboxamide, N-[3-[[3-amino-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]-5-chloro- (CA INDEX NAME)



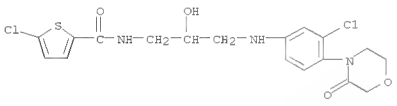
RN 482306-25-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[3-[[3-chloro-4-(2-methyl-3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)



RN 482306-26-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[3-[[3-chloro-4-(2-methyl-5-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)



RN 934274-22-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[3-[[3-chloro-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

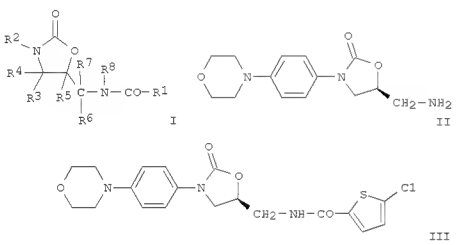
FORMAT

ACCESSION NUMBER: 2007:409419 CAPLUS
DOCUMENT NUMBER: 146:421968
TITLE: Preparation of oxazolidinones for the treatment of microangiopathy
INVENTOR(S): Perzborn, Elisabeth; Misselwitz, Frank
PATENT ASSIGNEE(S): Bayer HealthCare A.-G., Germany
SOURCE: Ger. Offen., 84pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102005048824	A1	20070412	DE 2005-102005048824	20051010
AU 2006301650	A1	20070419	AU 2006-301650	20060927
CA 2624963	A1	20070419	CA 2006-2624963	20060927
WO 2007042146	A1	20070419	WO 2006-EP9373	20060927
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1937271	A1	20080702	EP 2006-792284	20060927
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2009511513	T	20090319	JP 2008-534890	20060927
IN 2008DN02613	A	20080704	IN 2008-DN2613	20080328
MX 2008004705	A	20080502	MX 2008-4705	20080409
NO 2008002120	A	20080618	NO 2008-2120	20080506
KR 2008067647	A	20080721	KR 2008-711170	20080509
CN 101325957	A	20081217	CN 2006-80046367	20080610

PRIORITY APPLN. INFO.: DE 2005-102005048824A 20051010
WO 2006-EP9373 W 20060927

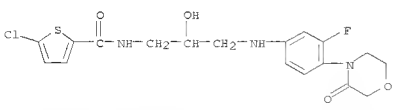
OTHER SOURCE(S): MARPAT 146:421968
GI



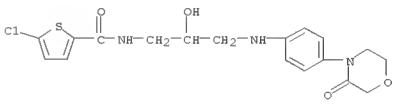
AB Title compds. I [R1 = substituted 2-thiophene with provisos; R2 = D-A-; A = phenylene; D = 5 or 6-membered heterocycle; R3, R4, R5, R6, R7, R8 = H] and their pharmaceutically acceptable salts and formulations were prepared
For example, coupling of amine II and 5-chlorothiophen-2-carboxylic acid afforded oxazolidinone III. In a blood-coagulation factor Xa inhibition assay, oxazolidinone III exhibited an IC50 value of 43 nM.

IT 482305-96-4P 482305-98-6P 482306-15-0P
482306-16-1P 482306-17-2P 482306-20-7P
482306-21-8P 482306-22-9P 482306-23-0P
482306-24-1P 482306-25-2P 482306-26-3P
934274-22-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazolidinones for treatment of microangiopathy)

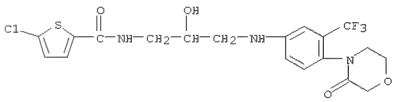
RN 482305-96-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[3-[[3-fluoro-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)



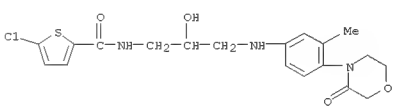
RN 482305-98-6 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-hydroxy-3-[[4-(3-oxo-4-morpholinyl)phenyl]amino]propyl]- (CA INDEX NAME)



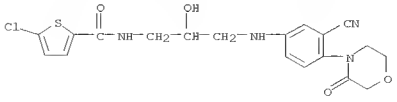
RN 482306-15-0 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-hydroxy-3-[[4-(3-oxo-4-morpholinyl)-3-(trifluoromethyl)phenyl]amino]propyl]- (CA INDEX NAME)



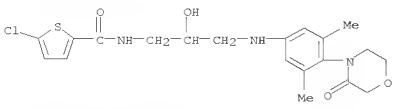
RN 482306-16-1 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-hydroxy-3-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]propyl]- (CA INDEX NAME)



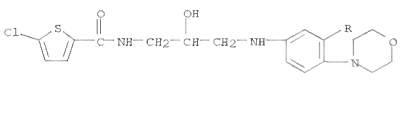
RN 482306-17-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[3-[[3-cyano-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)



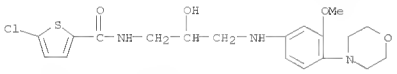
RN 482306-20-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[3-[[3,5-dimethyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)



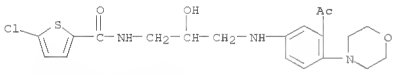
RN 482306-21-8 CAPLUS
CN 2-Thiophenecarboxamide, N-[3-[[3-(aminocarbonyl)-4-(4-morpholinyl)phenyl]amino]-2-hydroxypropyl]-5-chloro- (CA INDEX NAME)



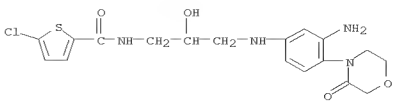
RN 482306-22-9 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-hydroxy-3-[[3-methoxy-4-(4-morpholinyl)phenyl]amino]propyl]- (CA INDEX NAME)



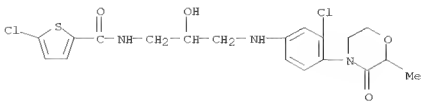
RN 482306-23-0 CAPLUS
CN 2-Thiophenecarboxamide, N-[3-[[3-acetyl-4-(4-morpholinyl)phenyl]amino]-2-hydroxypropyl]-5-chloro- (CA INDEX NAME)



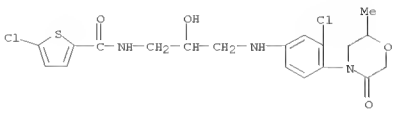
RN 482306-24-1 CAPLUS
CN 2-Thiophenecarboxamide, N-[3-[[3-amino-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]-5-chloro- (CA INDEX NAME)



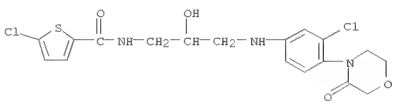
RN 482306-25-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[3-[[3-chloro-4-(2-methyl-3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)



RN 482306-26-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[3-[[3-chloro-4-(2-methyl-5-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)



RN 934274-22-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[3-[[3-chloro-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)



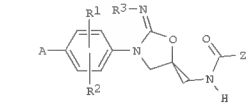
ACCESSION NUMBER: 2006:1118093 CAPLUS
DOCUMENT NUMBER: 145:455001
TITLE: Preparation of imino oxazolidines as anticoagulants
INVENTOR(S): Roehrig, Susanne; Pohlmann, Jens; Perzborn, Elisabeth;
Gerdes, Christoph; Schlemmer, Karl-Heinz
PATENT ASSIGNEE(S): Bayer Healthcare AG, Germany
SOURCE: Ger. Offen., 24pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102005018690	A1	20061026	DE 2005-102005018690	20050422
CA 2605492	A1	20061026	CA 2006-2605492	20060408
WO 2006111285	A2	20061026	WO 2006-EP3232	20060408
WO 2006111285	A3	20070215		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 1874764	A2	20080109	EP 2006-724168	20060408
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008536883	T	20080911	JP 2008-506966	20060408
PRIORITY APPLN. INFO.:			DE 2005-102005018690A	20050422
			WO 2006-EP3232 W	20060408

OTHER SOURCE(S): CASREACT 145:455001; MARPAT 145:455001
GI



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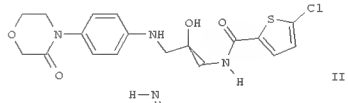
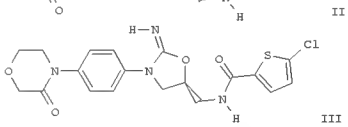


II



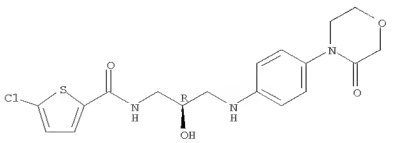
III

AB Title compds. I [A = pyrrolidones, imidazolidinone, 2-oxazolidone, etc.; R1, R2 = H, halo, CN, etc.; R3 = H, alkyl, CN; Z = Ph, pyridinyl, pyrimidinyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, bromocyanate mediated cyclization of amino alc. II afforded imine III in 38% yield. In a coagulation factor Xa inhibition assay, compound III exhibited an IC50 value of 5.4 nM.

IT 721401-53-2P, 5-Chloro-N-[(2R)-2-hydroxy-3-[[4-(3-oxo-4-morpholinyl)phenyl]amino]propyl]-2-thiophenecarboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imino oxazolidines as anticoagulants)

RN 721401-53-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(2R)-2-hydroxy-3-[[4-(3-oxo-4-morpholinyl)phenyl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1061760 CAPLUS
DOCUMENT NUMBER: 146:54689
TITLE: Design and evaluation of a novel class-directed 2D fingerprint to search for structurally diverse active compounds
AUTHOR(S): Eckert, Hanna; Bajorath, Juergen
CORPORATE SOURCE: Department of Life Science Informatics, B-IT, Rheinische Friedrich-Wilhelms-Universitaet, Bonn, D-53113, Germany
SOURCE: Journal of Chemical Information and Modeling (2006), 46(6), 2515-2526
CODEN: JCISD8; ISSN: 1549-9596
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

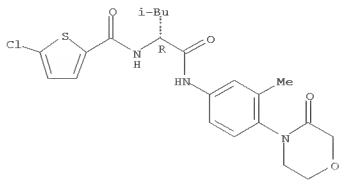
AB Recent attempts to increase similarity search performance using mol. fingerprints have mostly focused on the evaluation of alternative similarity metrics or scoring schemes, rather than the development of new types of fingerprints. A novel two-dimensional (2D) fingerprint design (property descriptor value range-derived fingerprint or PDR-FP) is introduced that involves activity-oriented selection of property descriptors and the transformation of descriptor value ranges into a binary format such that each fingerprint bit position represents a specific value interval. The design is tailored toward multiple-template similarity searching and permits training on specific activity classes. In search calcns. on 15 compound classes of increasing structural diversity, the PDR fingerprint performed better than other state-of-the-art 2D fingerprints. Among the structurally diverse classes were six compound sets with peptide character, which represent a notoriously difficult chemotype for 2D similarity searching. In these cases, PDR-FP produced promising results, whereas other fingerprint methods mostly failed. PDR-FP is specifically designed for search calcns. on structurally diverse compds., and these calcns. are not influenced by mol. size effects, which represent a general problem for similarity searching using bit string representations.

IT 697284-32-5
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(design and evaluation of class-directed two-dimensional mol. fingerprint to search for structurally diverse active compds.)

RN 697284-32-5 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R)-3-methyl-1-[[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]butyl]- (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:636803 CAPLUS
DOCUMENT NUMBER: 145:103534
TITLE: Preparation of substituted pyrrolidinones, their manufacture and their use as medicaments
INVENTOR(S): Gerlach, Kai; Priepke, Henning; Pfau, Roland; Wienen, Wolfgang; Schuler-Metz, Annette; Nar, Herbert; Kuehn, Peter; Dahmann, Georg
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany
SOURCE: U.S. Pat. Appl. Publ., 78 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060142263	A1	20060629	US 2005-275187	20051216
DE 102004062544	A1	20060706	DE 2004-102004062544	20041224
CA 2592131	A1	20060706	CA 2005-2592131	20051221
WO 2006069946	A1	20060706	WO 2005-EP57018	20051221
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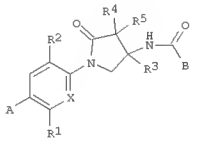
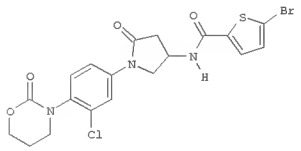
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1836198	A1	20070926	EP 2005-826417	20051221
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008525375	T	20080717	JP 2007-547513	20051221
PRIORITY APPLN. INFO.:			DE 2004-102004062544A	20041224
			WO 2005-EP57018 W	20051221

OTHER SOURCE(S): CASREACT 145:103534; MARPAT 145:103534
GI

L8 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

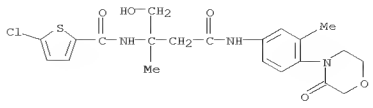


II

AB Title compds. I [Z = (un)substituted heterocycle; R1 = H, halo, alkyl, etc.; R2 = H, halo or alkyl; R3 = H or alkyl; X = N or CH; R4 and R5 independently = H, OH, alkenyl, etc.; B = (un)substituted benzothiophenyl, furanyl, naphthyl, etc.], and their pharmaceutically acceptable salts thereof, are prepared and disclosed as inhibitors of factor Xa. Thus, e.g., II was prepared in a multistep synthesis concluding with the acylation of 3-[4-(4-amino-2-oxopyrrolidin-1-yl)-2-chlorophenyl]-[1,3]oxazinan-2-one trifluoroacetate (preparation given) with 5-bromothiophene-2-carboxylic acid. All of the compds. tested had an IC50 value of less than 10 μmol/L in assays to determine inhibition of factor Xa.

IT 896123-38-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted pyrrolidinones, their manufacture and their use as medicaments)

RN 896123-38-9 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[1-(hydroxymethyl)-1-methyl-3-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-3-oxopropyl]- (CA INDEX NAME)



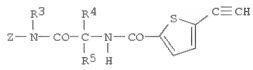
L8 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L8 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:292670 CAPLUS
DOCUMENT NUMBER: 144:369905
TITLE: Preparation of 2-thiophenecarboxamides as factor Xa inhibitors
INVENTOR(S): Priepke, Henning; Gerlach, Kai; Pfau, Roland; Wienen, Wolfgang; Schuler-Metz, Annette; Nar, Herbert; Handschuh, Sandra
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany
SOURCE: Ger. Offen., 55 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102004047840	A1	20060330	DE 2004-102004047840	20040929
CA 2581580	A1	20060406	CA 2005-2581580	20050923
WO 2006034822	A1	20060406	WO 2005-EP10307	20050923
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, KE, KZ, MD, RU, TJ, TM				
EP 1797080	A1	20070620	EP 2005-788511	20050923
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008514665	T	20080508	JP 2007-533923	20050923
US 20060069082	A1	20060330	US 2005-238599	20050929
PRIORITY APPLN. INFO.:			DE 2004-102004047840A	20040929
			WO 2005-EP10307 W	20050923

OTHER SOURCE(S): MARPAT 144:369905
GI



I

AB Title compds. I [Z = Ar(R1)(A)(R2); A = (un)substituted pyrrolidones, thiazolidinones, xalerolactims, etc.; R1 = H, halo, alkyl, etc.; R2 = H, halo, alkyl; R3 = H, alkyl; R4, R5 = H, alkenyl, alkynyl, etc.] and their

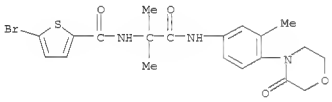
L8 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

pharmaceutically acceptable salts and formulations were prepd. For example, TBAF mediated deprotection of silyl alkyne II [Y = TMS] afforded claimed thiophenecarboxamide II [Y = H] in 85% yield. In factor Xa inhibition assays, compds. exhibited IC50 values < 100 μmol/L.

IT 869787-02-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-thiophenecarboxamides as factor Xa inhibitors)

RN 869787-02-0 CAPLUS

CN 2-Thiophenecarboxamide, 5-bromo-N-[1,1-dimethyl-2-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)

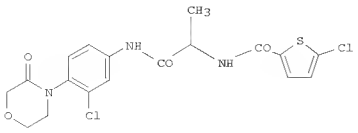


L8 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1242417 CAPLUS
DOCUMENT NUMBER: 144:7085
TITLE: Synthesis of substituted amino acid thiophenecarboxamides for use as medicaments
INVENTOR(S): Pfau, Roland; Priepke, Henning; Gerlach, Kai; Wienen, Wolfgang; Schuler-Metz, Annette; Nar, Herbert; Handschuh, Sandra
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.
SOURCE: PCT Int. Appl., 268 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005111029	A1	20051124	WO 2005-EP4975	20050507
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005243535	A1	20051124	AU 2005-243535	20050507
CA 2564207	A1	20051124	CA 2005-2564207	20050507
EP 1747217	A1	20070131	EP 2005-747401	20050507
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BA, HR, YU				
CN 101014591	A	20070808	CN 2005-80023720	20050507
BR 2005010019	A	20070925	BR 2005-10019	20050507
JP 2007537180	T	20071220	JP 2007-512051	20050507
US 20050277628	A1	20051215	US 2005-125731	20050510
US 7476663	B2	20090113		
ZA 2006008023	A	20080430	ZA 2006-8023	20060927
IN 2006DN06225	A	20070831	IN 2006-DN6225	20061025
MX 2006013213	A	20070208	MX 2006-13213	20061113
KR 2007012552	A	20070125	KR 2006-726224	20061213
PRIORITY APPLN. INFO.:			EP 2004-11384 A	20040513
			EP 2004-18807 A	20040807
			WO 2005-EP4975 W	20050507

OTHER SOURCE(S): MARPAT 144:7085
GI

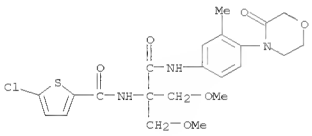


I

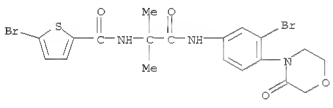
AB The invention relates to novel substituted thiophene-2-carboxamides, e.g. (I), their tautomers, enantiomers, diastereomers, mixts. and salts, in particular the physiol. compatible salts of said compds. containing inorg. or organic acids or bases, which exhibit an inhibitory effect on Factor Xa and serine proteases, for the treatment of disease or medical conditions. Thus, 3-chloro-4-fluoro-1-nitrobenzene was coupled with morpholine and the nitro group reduce to the amine to prepare an intermediate (II). 5-Chlorothiophen-2-carboxylic acid was coupled with 2-aminopropionic acid Me ester hydrochloride, the product deesterified, and the resulting free acid coupled with II to give I. Title compds. exhibited anticoagulant inhibitory activity against Factor Xa (no data), making them suitable for use in treatment of thrombotic diseases (no data).

IT 1082368-95-3 1082368-98-6 1082368-99-7
1082369-90-1 1082369-94-5 1082369-96-7
1082370-10-2 1082370-20-4 1082370-34-0
1082370-67-9 1082370-79-3 1082371-12-7
1082371-33-2 1082371-41-2 1082371-46-7
1082371-53-6 1082371-68-3 1082371-72-9
1082568-91-9 1082568-98-6 1082568-99-7
1082569-06-9 1082569-09-2 1082569-10-5
1082569-11-6 1083097-46-4 1083097-49-7
1083097-51-1 1083097-53-3 1083097-54-4
1083097-61-3 1083097-69-1 1083097-71-5
1083097-72-6 1083097-78-2 1083097-80-6
RL: PRPH (Prophetic)
(Synthesis of substituted amino acid thiophenecarboxamides for use as medicaments)

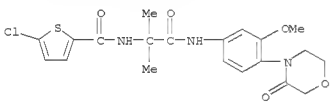
RN 1082368-95-3 CAPLUS
CN 2-Thiophenecarboxamide, N-[1,1-bis(methoxymethyl)-2-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-oxoethyl]-5-chloro- (CA INDEX NAME)



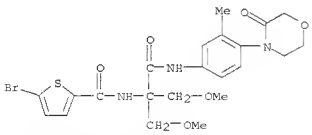
RN 1082369-96-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-bromo-N-[2-[[3-bromo-4-(3-oxo-4-morpholinyl)phenyl]amino]-1,1-dimethyl-2-oxoethyl]- (CA INDEX NAME)



RN 1082370-10-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-[[3-methoxy-4-(3-oxo-4-morpholinyl)phenyl]amino]-1,1-dimethyl-2-oxoethyl]- (CA INDEX NAME)



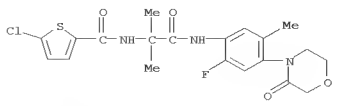
RN 1082370-20-4 CAPLUS
CN 2-Thiophenecarboxamide, N-[1,1-bis(methoxymethyl)-2-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-oxoethyl]-5-bromo- (CA INDEX NAME)



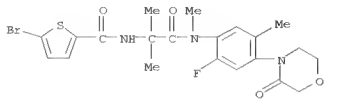
RN 1082370-34-0 CAPLUS
CN 2-Thiophenecarboxamide, 5-bromo-N-[(1S)-2-[[3-chloro-4-(3-oxo-4-morpholinyl)phenyl]amino]-1-(methoxymethyl)-1-methyl-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.

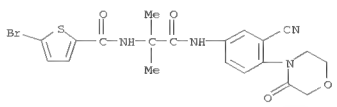
RN 1082368-98-6 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-[[2-fluoro-5-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-1,1-dimethyl-2-oxoethyl]- (CA INDEX NAME)



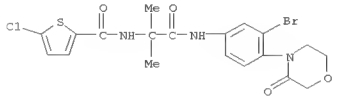
RN 1082368-99-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-bromo-N-[2-[[2-fluoro-5-methyl-4-(3-oxo-4-morpholinyl)phenyl]methylamino]-1,1-dimethyl-2-oxoethyl]- (CA INDEX NAME)

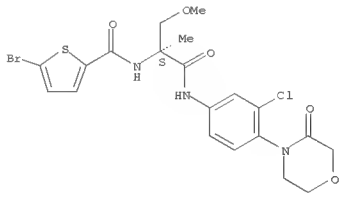


RN 1082369-90-1 CAPLUS
CN 2-Thiophenecarboxamide, 5-bromo-N-[2-[[3-cyano-4-(3-oxo-4-morpholinyl)phenyl]amino]-1,1-dimethyl-2-oxoethyl]- (CA INDEX NAME)



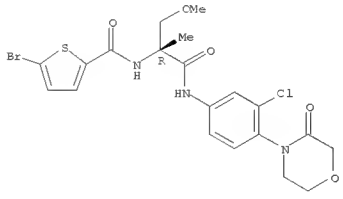
RN 1082369-94-5 CAPLUS
CN 2-Thiophenecarboxamide, N-[2-[[3-bromo-4-(3-oxo-4-morpholinyl)phenyl]amino]-1,1-dimethyl-2-oxoethyl]-5-chloro- (CA INDEX NAME)



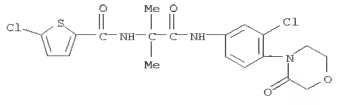


RN 1082370-67-9 CAPLUS
CN 2-Thiophenecarboxamide, 5-bromo-N-[(1R)-2-[[3-chloro-4-(3-oxo-4-morpholinyl)phenyl]amino]-1-(methoxymethyl)-1-methyl-2-oxoethyl]- (CA INDEX NAME)

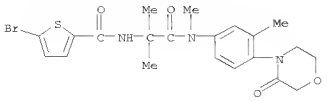
Absolute stereochemistry.



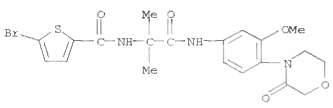
RN 1082370-79-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-[[3-chloro-4-(3-oxo-4-morpholinyl)phenyl]amino]-1,1-dimethyl-2-oxoethyl]- (CA INDEX NAME)



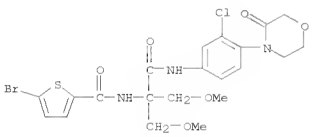
RN 1082371-12-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-bromo-N-[1,1-dimethyl-2-[methyl[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)



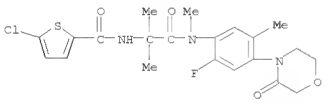
RN 1082371-33-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-bromo-N-[2-[[3-methoxy-4-(3-oxo-4-morpholinyl)phenyl]amino]-1,1-dimethyl-2-oxoethyl]- (CA INDEX NAME)



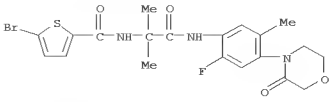
RN 1082371-41-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



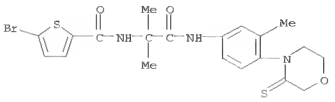
RN 1082371-46-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-[[2-fluoro-5-methyl-4-(3-oxo-4-morpholinyl)phenyl]methylamino]-1,1-dimethyl-2-oxoethyl]- (CA INDEX NAME)



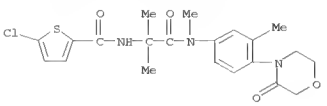
RN 1082371-53-6 CAPLUS
CN 2-Thiophenecarboxamide, 5-bromo-N-[2-[[2-fluoro-5-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-1,1-dimethyl-2-oxoethyl]- (CA INDEX NAME)



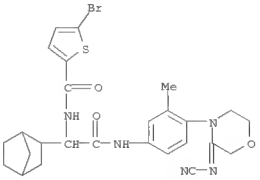
RN 1082371-68-3 CAPLUS
GN 2-Thiophenecarboxamide, 5-bromo-N-[1,1-dimethyl-2-[[3-methyl-4-(3-thioxo-4-morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)



RN 1082371-72-9 CAPLUS
GN 2-Thiophenecarboxamide, 5-chloro-N-[1,1-dimethyl-2-[methyl[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)

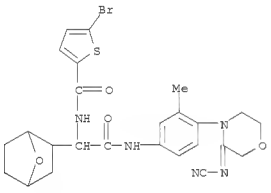


RN 1082568-91-9 CAPLUS
GN INDEX NAME NOT YET ASSIGNED

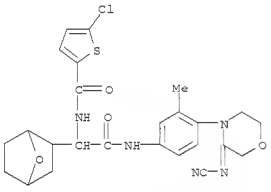


RN 1082568-98-6 CAPLUS

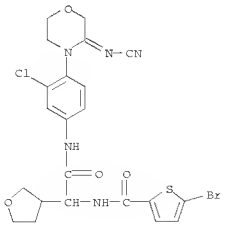
CN INDEX NAME NOT YET ASSIGNED



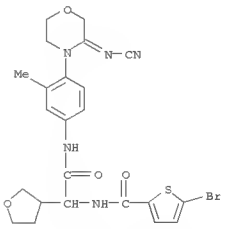
RN 1082568-99-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



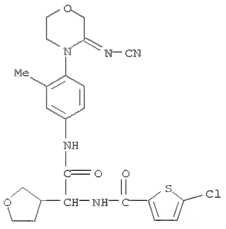
RN 1082569-06-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



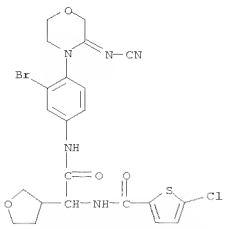
RN 1082569-09-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



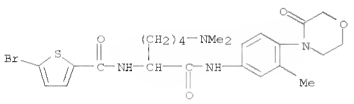
RN 1082569-10-5 CAPLUS
GN INDEX NAME NOT YET ASSIGNED



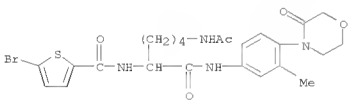
RN 1082569-11-6 CAPLUS
GN INDEX NAME NOT YET ASSIGNED



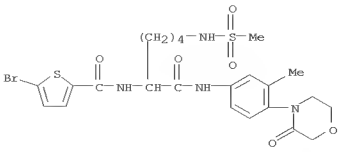
RN 1083097-46-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-bromo-N-[5-(dimethylamino)-1-[[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]pentyl]- (CA INDEX NAME)



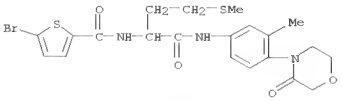
RN 1083097-49-7 CAPLUS
CN 2-Thiophenecarboxamide, N-[5-(acetylamino)-1-[[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]pentyl]-5-bromo- (CA INDEX NAME)



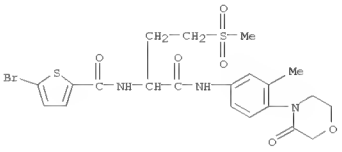
RN 1083097-51-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



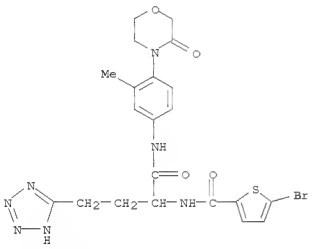
RN 1083097-53-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-bromo-N-[1-[[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]-3-(methylthio)propyl]- (CA INDEX NAME)



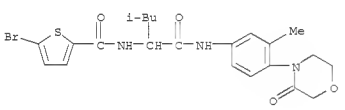
RN 1083097-54-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-bromo-N-[1-[[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]-3-(methylsulfonyl)propyl]- (CA INDEX NAME)



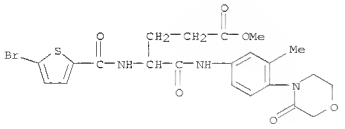
RN 1083097-61-3 CAPLUS
CN 2H-Tetrazole-5-butanamide, α-[[(5-bromo-2-thienyl)carbonyl]amino]-N-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)



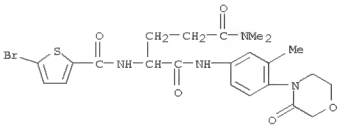
RN 1083097-69-1 CAPLUS
CN 2-Thiophenecarboxamide, 5-bromo-N-[3-methyl-1-[[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]butyl]- (CA INDEX NAME)



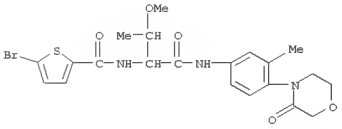
RN 1083097-71-5 CAPLUS
CN Pentanoic acid, 4-[[(5-bromo-2-thienyl)carbonyl]amino]-5-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-5-oxo-, methyl ester (CA INDEX NAME)



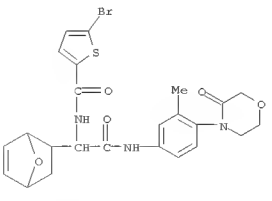
RN 1083097-72-6 CAPLUS
CN Pentanediamide, 2-[[(5-bromo-2-thienyl)carbonyl]amino]-N5,N5-dimethyl-N1-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)



RN 1083097-78-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-bromo-N-[2-methoxy-1-[[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]propyl]- (CA INDEX NAME)



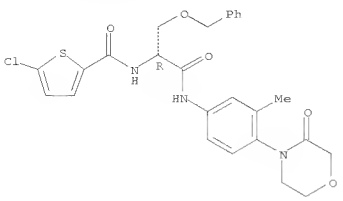
RN 1083097-80-6 CAPLUS
CN 7-Oxabicyclo[2.2.1]hept-5-ene-2-acetamide, α-[[(5-bromo-2-thienyl)carbonyl]amino]-N-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)



IT 869785-22-8P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of substituted amino acid thiophenecarboxamides for use as
medicaments)
RN 869785-22-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R)-2-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]- (CA

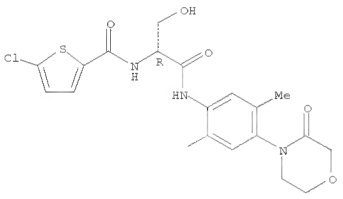
L8 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
INDEX NAME)

Absolute stereochemistry.



IT 811450-61-0P 811811-33-3P 869786-87-8P
869786-89-0P 869786-92-5P 869786-94-7P
869786-96-9P 869786-98-1P 869787-00-8P
869787-02-0P 869787-05-3P 869787-22-4P
869787-31-5P 869787-33-7P 869787-38-2P
869787-40-6P 869787-42-8P 869787-48-4P
869787-50-8P 869787-52-0P 869787-55-3P
869787-57-5P 869787-59-7P 869787-73-5P
869787-75-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of substituted amino acid thiophenecarboxamides for use
as
medicaments)
RN 811450-61-0 CAPLUS
CN 2-Thiophenecarboxamide,
5-chloro-N-[(1R)-1-(hydroxymethyl)-2-[[3-methyl-4-
(3-oxo-4-morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)

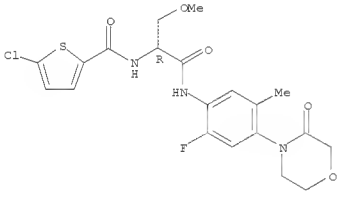
Absolute stereochemistry.



RN 811811-33-3 CAPLUS

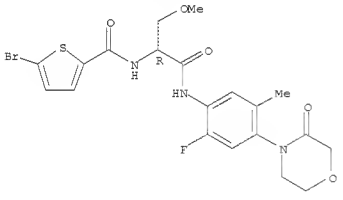
L8 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN 2-Thiophenecarboxamide,
5-chloro-N-[(1R)-2-[[2-fluoro-5-methyl-4-(3-oxo-4-
morpholinyl)phenyl]amino]-1-(methoxymethyl)-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.

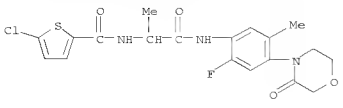


RN 869786-94-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-bromo-N-[(1R)-2-[[2-fluoro-5-methyl-4-(3-oxo-4-
morpholinyl)phenyl]amino]-1-(methoxymethyl)-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.



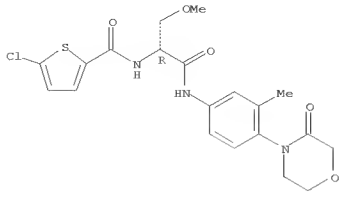
RN 869786-96-9 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-[[2-fluoro-5-methyl-4-(3-oxo-4-
morpholinyl)phenyl]amino]-1-methyl-2-oxoethyl]- (CA INDEX NAME)



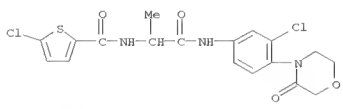
RN 869786-98-1 CAPLUS

L8 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN 2-Thiophenecarboxamide,
5-chloro-N-[(1R)-1-(methoxymethyl)-2-[[3-methyl-4-
(3-oxo-4-morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.

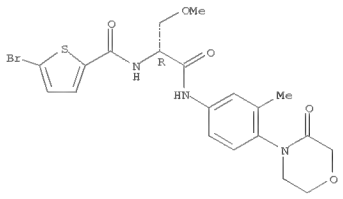


RN 869786-87-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-[[3-chloro-4-(3-oxo-4-
morpholinyl)phenyl]amino]-1-methyl-2-oxoethyl]- (CA INDEX NAME)



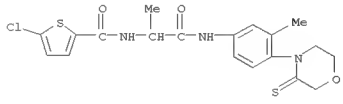
RN 869786-89-0 CAPLUS
CN 2-Thiophenecarboxamide, 5-bromo-N-[(1R)-1-(methoxymethyl)-2-[[3-methyl-4-
(3-oxo-4-morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.

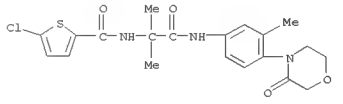


RN 869786-92-5 CAPLUS

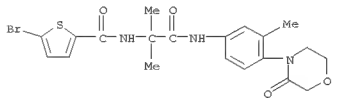
L8 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN 2-Thiophenecarboxamide, 5-chloro-N-[1-methyl-2-[[3-methyl-4-(3-thioxo-4-
morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)



RN 869787-00-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[1,1-dimethyl-2-[[3-methyl-4-(3-oxo-4-
morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)

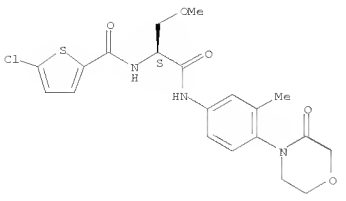


RN 869787-02-0 CAPLUS
CN 2-Thiophenecarboxamide, 5-bromo-N-[1,1-dimethyl-2-[[3-methyl-4-(3-oxo-4-
morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)



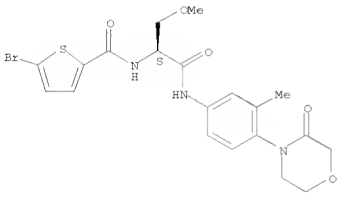
RN 869787-05-3 CAPLUS
CN 2-Thiophenecarboxamide,
5-chloro-N-[(1S)-1-(methoxymethyl)-2-[[3-methyl-4-
(3-oxo-4-morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.



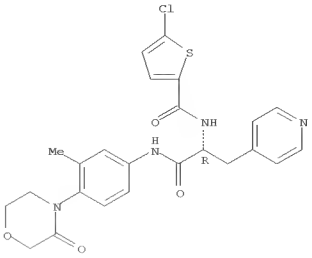
RN 869787-22-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-bromo-N-[(1S)-1-(methoxymethyl)-2-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.



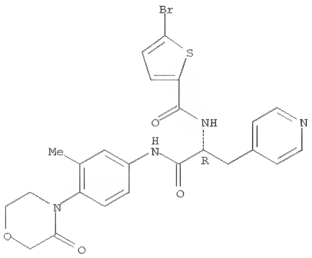
RN 869787-31-5 CAPLUS
CN 4-Pyridinepropanamide, α-[[(5-chloro-2-thienyl)carbonyl]amino]-N-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



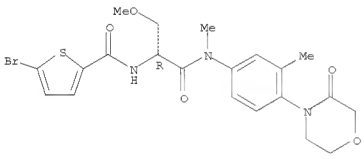
RN 869787-33-7 CAPLUS
CN 4-Pyridinepropanamide, α-[[(5-bromo-2-thienyl)carbonyl]amino]-N-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.

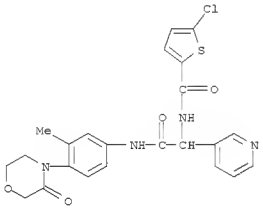


RN 869787-38-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-bromo-N-[(1R)-1-(methoxymethyl)-2-[methyl[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)

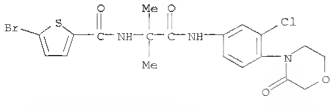
Absolute stereochemistry.



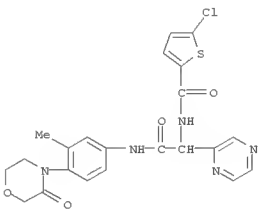
RN 869787-40-6 CAPLUS
CN 3-Pyridineacetamide, α-[[(5-chloro-2-thienyl)carbonyl]amino]-N-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)



RN 869787-42-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-bromo-N-[2-[[3-chloro-4-(3-oxo-4-morpholinyl)phenyl]amino]-1,1-dimethyl-2-oxoethyl]- (CA INDEX NAME)

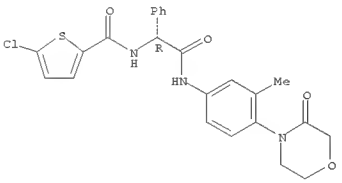


RN 869787-48-4 CAPLUS
CN 2-Pyrazineacetamide, α-[[(5-chloro-2-thienyl)carbonyl]amino]-N-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)



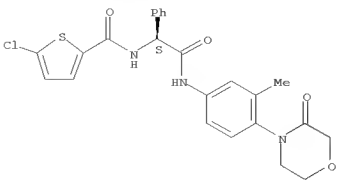
RN 869787-50-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R)-2-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-oxo-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.



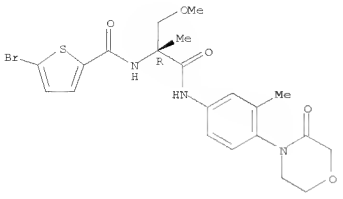
RN 869787-52-0 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1S)-2-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-oxo-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.



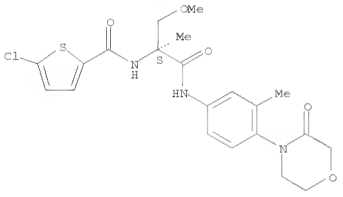
RN 869787-55-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-bromo-N-[(1R)-1-(methoxymethyl)-1-methyl-2-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.



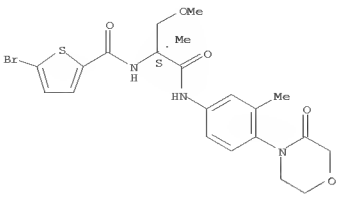
RN 869787-57-5 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1S)-1-(methoxymethyl)-1-methyl-2-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.

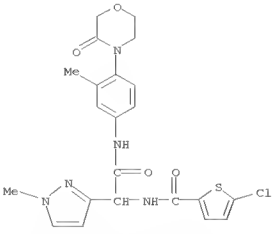


RN 869787-59-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-bromo-N-[(1S)-1-(methoxymethyl)-1-methyl-2-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)

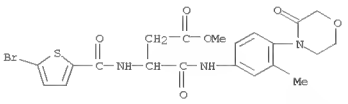
Absolute stereochemistry.



RN 869787-73-5 CAPLUS
CN 1H-Pyrazole-3-acetamide, α-[[(5-chloro-2-thienyl)carbonyl]amino]-1-methyl-N-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)



RN 869787-75-7 CAPLUS
CN Butanoic acid, 3-[[(5-bromo-2-thienyl)carbonyl]amino]-4-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-4-oxo-, methyl ester (CA INDEX NAME)

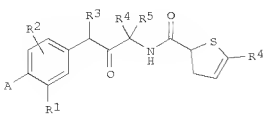


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2005:1223738 CAPLUS
DOCUMENT NUMBER: 143:477842
TITLE: Substituted thiophene carboxamides, process for their preparation and their use as antithrombotics and factor Xa inhibitors
INVENTOR(S): Pfau, Roland; Priepke, Henning; Gerlach, Kai; Wienen, Wolfgang; Schuler-Metz, Annette; Nar, Herbert; Handschuh, Sandra
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany
SOURCE: U.S. Pat. Appl. Publ., 62 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050256107	A1	20051117	US 2005-125493	20050510
CA 2562714	A1	20051124	CA 2005-2562714	20050507
WO 2005111013	A1	20051124	WO 2005-EP4974	20050507
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1748997	A1	20070207	EP 2005-745599	20050507
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BA, HR, YU				
JP 2007537179	T	20071220	JP 2007-512050	20050507
PRIORITY APPLN. INFO.:			EP 2004-11387 A	20040513
			WO 2005-EP4974 W	20050507

OTHER SOURCE(S): CASREACT 143:477842; MARPAT 143:477842
GI

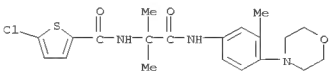


I

AB The present invention relates to substituted thiophene-2-carboxylic acid amides of general formula I, (wherein R1 = H, F, Cl, Br, or I, (un)substituted C1-3-alkyl or C1-3-alkoxy; R2 = H, halogen, or C1-3-alkyl; R3 = H or C1-3-alkyl; R4 and R5 = H, C2-6-alkenyl, or C2-6-alkynyl group, (un)substituted C1-6-alkyl, CO, aminocarbonyl, C1-5-alkylaminocarbonyl, C3-5-cycloalkylaminocarbonyl, C1-5-alkoxycarbonyl, C4-6-cycloalkyleneiminocarbonyl, (un)substituted Ph, heteroaryl, cycloalkyl, cycloalkyleneimino; R4 and R5 together with C form an (un)substituted C3-8-cycloalkyl or C3-8-cycloalkenyl group that may form a bridged group; R6 = H, F, Cl, Br, I, nitrile , C1-3-alkyl , or C1-3-alkoxy group, optionally substituted with F; A = substituted heterocycle), the tautomers, the enantiomers, the diastereomers, the mixts. thereof and the salts thereof, particularly the physiol. acceptable salts thereof with inorg. or organic acids or bases, which have valuable properties. I have an antithrombotic activity and factor Xa-inhibiting activity. The present application thus relates to the new compds. of the above general formula I, the preparation thereof, the pharmaceutical comps. containing the pharmacol. effective compds., the preparation and use thereof. For example, II was prepared from 2-[(5-chlorothiophene-2-carbonyl)amino]propionic acid and 3-bromo-4-(4-methylpiperazin-1-yl)aniline with TBTU and TEA in DMF. All the compds. tested had an IC50 of < 100 μmol/L against human factor Xa.

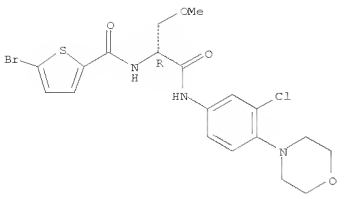
IT 1056990-26-1 1056990-27-2
RL: PRPH (Prophetic)
(Substituted thiophene carboxamides, process for their preparation and their use as antithrombotics and factor Xa inhibitors)

RN 1056990-26-1 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[1,1-dimethyl-2-[[3-methyl-4-(4-morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)



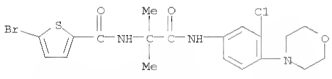
RN 1056990-27-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-bromo-N-[(1R)-2-[[3-chloro-4-(4-morpholinyl)phenyl]amino]-1-(methoxymethyl)-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.

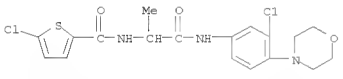


IT 869547-98-8P, 5-Bromothiophene-2-carboxylic acid N-[1-[[3-chloro-4-(morpholin-4-yl)phenyl]carbamoyl]-1-methylethyl]amide
869548-04-9P, 5-Chlorothiophene-2-carboxylic acid N-[1-[[3-chloro-4-(morpholin-4-yl)phenyl]carbamoyl]ethyl]amide
869548-14-1P, 5-Chlorothiophene-2-carboxylic acid N-[1-[[3-chloro-4-(morpholin-4-yl)phenyl]carbamoyl]-1-methylethyl]amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; substituted thiophene carboxamides, process for their preparation and their use as antithrombotics and factor Xa inhibitors)

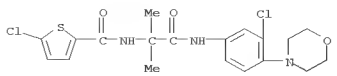
RN 869547-98-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-bromo-N-[2-[[3-chloro-4-(4-morpholinyl)phenyl]amino]-1,1-dimethyl-2-oxoethyl]- (CA INDEX NAME)



RN 869548-04-9 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-[[3-chloro-4-(4-morpholinyl)phenyl]amino]-1-methyl-2-oxoethyl]- (CA INDEX NAME)



RN 869548-14-1 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-[[3-chloro-4-(4-morpholinyl)phenyl]amino]-1,1-dimethyl-2-oxoethyl]- (CA INDEX NAME)



ACCESSION NUMBER: 2005:975634 CAPLUS
DOCUMENT NUMBER: 143:230189
TITLE: Preparation of β-amino acid derivatives as factor Xa inhibitors
INVENTOR(S): Urmann, Matthias; Nazare, Marc; Wehner, Volkmar; Matter, Hans; Bauer, Armin; Wagner, Michael
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: Eur. Pat. Appl., 87 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

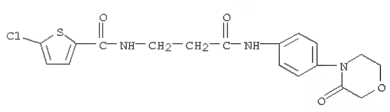
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1571154	A1	20050907	EP 2004-4904	20040303
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
AU 2005229320	A1	20051013	AU 2005-229320	20050219
CA 2559948	A1	20051013	CA 2005-2559948	20050219
WO 2005095440	A1	20051013	WO 2005-EP1736	20050219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1723164	A1	20061122	EP 2005-707524	20050219
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1926148	A	20070307	CN 2005-80006850	20050219
BR 2005008320	A	20070724	BR 2005-8320	20050219
JP 2007535497	T	20071206	JP 2007-501155	20050219
MX 2006009847	A	20061116	MX 2006-9847	20060830
IN 2006CN03173	A	20070608	IN 2006-CN3173	20060901
US 20070179122	A1	20070802	US 2006-469513	20060901
KR 2006122950	A	20061130	KR 2006-718402	20060908

PRIORITY APPLN. INFO.: EP 2004-4904 A 20040303
WO 2005-EP1736 W 20050219

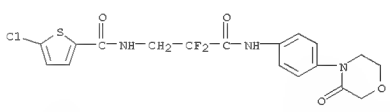
OTHER SOURCE(S): CASREACT 143:230189; MARPAT 143:230189
AB The invention relates to β-amino acid derivs. R-Q-NHCR3R4CR5R6CONR1-R2-V-G-M [R is mono- or bicyclic heterocyclyl (benzimidazolyl, 1,3-benzodioxolyl, benzofuranyl, etc.); Q is a direct bond or alkylene containing sulfonyl, imino and CO2 groups; R1 is H, (un)substituted alkyl, aryl or heterocyclyl; R2 is a direct bond or alkylene; V, M are independently (un)substituted aryl, heterocyclyl or other cyclic group; G is a direct bond, (CH2)0-2, alkylene containing sulfonyl, imino, S, etc.; R3-R6 are independently H, halo, alkyl, Ph, heterocyclyl, etc. (including stereoisomers and physiol.-tolerable salts)], which are reversible inhibitors of the blood clotting enzymes factor Xa and/or factor VIIa and exhibit a strong antithrombotic effect. Thus, 5-chloro-2-thiophenecarboxylic acid 2-[4-(3-oxomorpholin-4-yl)phenylcarbamoyl]ethylamide was prepd. and showed Ki = 30 nM for inhibition of factor Xa.

IT 697284-55-2P 863015-68-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of β-amino acid derivs. as factor Xa inhibitors)

RN 697284-55-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[3-oxo-3-[[4-(3-oxo-4-morpholinyl)phenyl]amino]propyl]- (CA INDEX NAME)



RN 863015-68-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[2,2-difluoro-3-oxo-3-[[4-(3-oxo-4-morpholinyl)phenyl]amino]propyl]- (CA INDEX NAME)



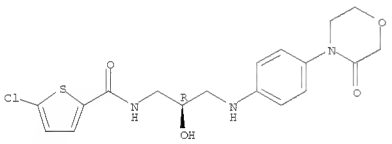
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:1016039 CAPLUS
DOCUMENT NUMBER: 142:6516
TITLE: Preparation of 2-thiooxazolidones and related compounds for the treatment of thromboembolic illnesses
INVENTOR(S): Gerdes, Christoph; Perzborn, Elisabeth; Pohlmann, Jens; Roehrig, Susanne; Straub, Alexander; Thomas, Christian R.; Tuch, Arounarith; Schlemmer, Karl-Heinz
PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

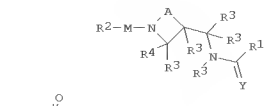
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004101557	A1	20041125	WO 2004-EP4836		20040506
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
DE 10322469	A1	20041216	DE 2003-10322469		20030519
CA 2526086	A1	20041125	CA 2004-2526086		20040506
EP 1626969	A1	20060222	EP 2004-731345		20040506
R: DE, ES, FR, GB, IT					
JP 2006528943	T	20061228	JP 2006-529751		20040506
US 20070066615	A1	20070322	US 2006-557168		20061023
PRIORITY APPLN. INFO.:			DE 2003-10322469	A	20030519
			WO 2004-EP4836	W	20040506

OTHER SOURCE(S): MARPAT 142:6516
GI

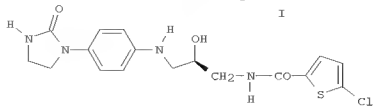


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

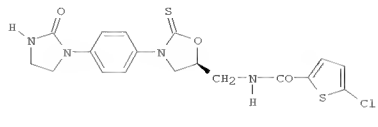
L8 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I



II



III

AB Title compds. I [A = S(O)O, S(O2)O, S(O)NR5, etc.; M = (un)substituted aryl, pyridyl, pyrimidyl, etc.; R1 = (un)substituted aryl, heteroaryl, heterocyclyl, etc.; R2 = (un)substituted aryl, pyridyl, pyrimidyl, etc.; R3 = H, alkyl; R4 = H, (un)substituted alkoxycarbonyl, alkylaminocarbonyl, etc.; R5 = H, alkyl; Y = O, S] and their pharmaceutically acceptable salts and formulations were prepared For example, N,N'-thiocarbonyldiimidazole mediated cyclization of aminoalc. II, e.g., prepared from 1-(4-aminophenyl)imidazolidin-2-one and 5-chloro-N-((2S)-2-oxiranylmethyl)-2-thiophencarboxamide, afforded thiooxazolidone III in 22% yield. Compds. I are claimed useful for the treatment of thromboembolic illnesses.

IT 721401-53-2P, 5-Chloro-N-[(2R)-2-hydroxy-3-[[4-(3-oxo-4-morpholinyl)phenyl]amino]propyl]-2-thiophencarboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiooxazolidones and related compds. for the treatment of thromboembolic illnesses)

RN 721401-53-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(2R)-2-hydroxy-3-[[4-(3-oxo-4-morpholinyl)phenyl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

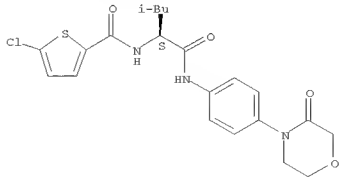
ACCESSION NUMBER: 2004:880502 CAPLUS
DOCUMENT NUMBER: 142:68502
TITLE: Chlorothiophenecarboxamides as P1 surrogates of inhibitors of blood coagulation factor Xa
AUTHOR(S): Mederski, Werner W. K. R.; Cezanne, Bertram; van Amsterdam, Christoph; Buehring, Karl-Ulrich; Dorsch, Dieter; Gleitz, Johannes; Maerz, Joachim; Tsaklakidis, Christos
CORPORATE SOURCE: Preclinical Pharmaceutical Research, Merck KGaA, Darmstadt, 64271, Germany
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(23), 5817-5822
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:68502

AB Neutral chlorothiophenecarboxamides bearing an amino acid and a substituted aniline were synthesized and investigated for their factor Xa inhibitory activity in vitro. From selected 2-methylphenyl morpholinones the solution properties were determined The most soluble and active compds. were then investigated in different animal species to compare the pharmacokinetic parameters. This led to a potent, water soluble and orally bioavailable candidate for further development: EMD 495235.

IT 697284-28-9 697284-31-4 697284-42-7 697284-53-0 697284-59-6 811450-48-3 811450-49-4 811450-50-7 811450-51-8 811450-52-9 811450-63-2 811450-65-4 811450-67-6 811450-69-8
RL: PAC (Pharmacological activity); BIOL (Biological study)
(chlorothiophenecarboxamide inhibition of blood coagulation factor Xa)

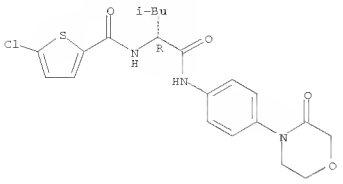
RN 697284-28-9 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1S)-3-methyl-1-[[[4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]butyl]- (CA INDEX NAME)

Absolute stereochemistry.



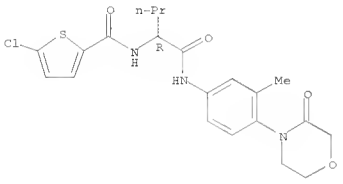
RN 697284-31-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R)-3-methyl-1-[[[4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]butyl]- (CA INDEX NAME)

Absolute stereochemistry.



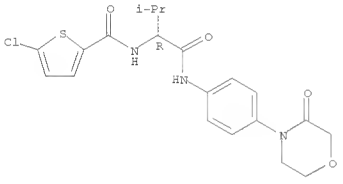
RN 697284-42-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R)-1-[[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]butyl]- (CA INDEX NAME)

Absolute stereochemistry.

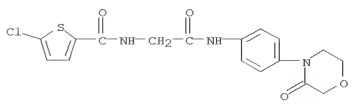


RN 697284-53-0 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R)-2-methyl-1-[[[4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

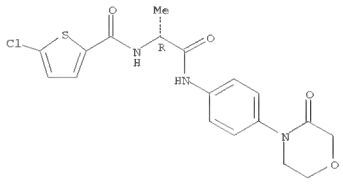


RN 697284-59-6 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-oxo-2-[[4-(3-oxo-4-morpholinyl)phenyl]amino]ethyl]- (CA INDEX NAME)



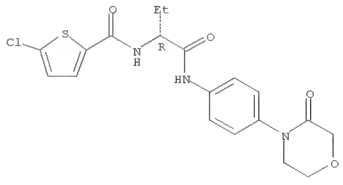
RN 811450-48-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R)-1-methyl-2-oxo-2-[[4-(3-oxo-4-morpholinyl)phenyl]amino]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



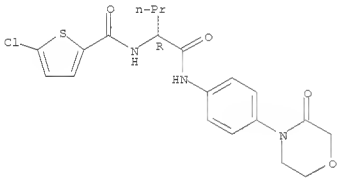
RN 811450-49-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R)-1-[[[4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



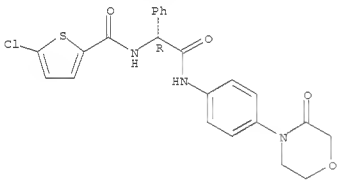
RN 811450-50-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R)-1-[[[4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]butyl]- (CA INDEX NAME)

Absolute stereochemistry.



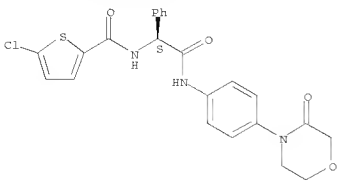
RN 811450-51-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R)-2-oxo-2-[[4-(3-oxo-4-morpholinyl)phenyl]amino]-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.



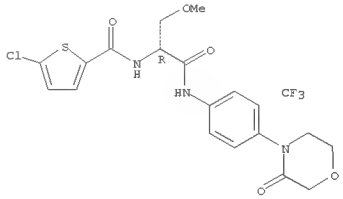
RN 811450-52-9 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1S)-2-oxo-2-[[4-(3-oxo-4-morpholinyl)phenyl]amino]-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.



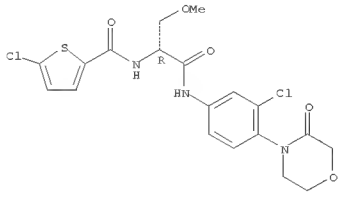
RN 811450-63-2 CAPLUS
CN 2-Thiophenecarboxamide,
5-chloro-N-[(1R)-1-(methoxymethyl)-2-oxo-2-[[4-(3-oxo-4-morpholinyl)-3-(trifluoromethyl)phenyl]amino]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



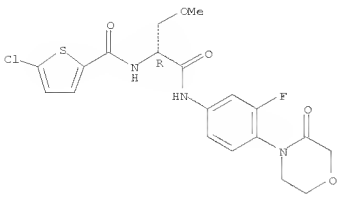
RN 811450-65-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R)-2-[[3-chloro-4-(3-oxo-4-morpholinyl)phenyl]amino]-1-(methoxymethyl)-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.



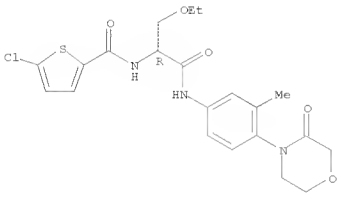
RN 811450-67-6 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R)-2-[[3-fluoro-4-(3-oxo-4-morpholinyl)phenyl]amino]-1-(methoxymethyl)-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.



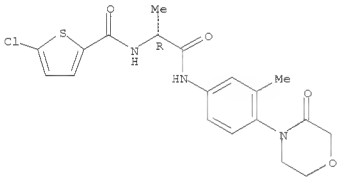
RN 811450-69-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R)-1-(ethoxymethyl)-2-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.



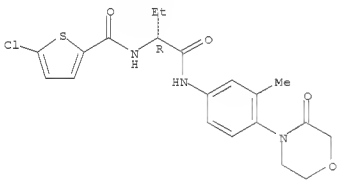
IT 811811-33-3P, EMD 495235
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(chlorothiophenecarboxamide inhibition of blood coagulation factor Xa)
RN 811811-33-3 CAPLUS
CN 2-Thiophenecarboxamide,
5-chloro-N-[(1R)-1-(methoxymethyl)-2-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.



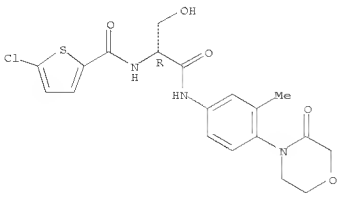
RN 697284-41-6 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R)-1-[[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]propyl]- (CA INDEX NAME)

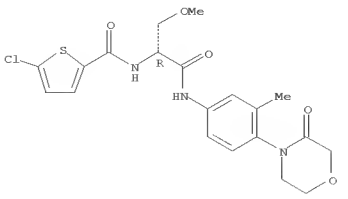
Absolute stereochemistry.



RN 811450-61-0 CAPLUS
CN 2-Thiophenecarboxamide,
5-chloro-N-[(1R)-1-(hydroxymethyl)-2-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)

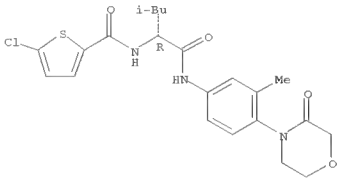
Absolute stereochemistry.





IT 697284-32-5 697284-39-2 697284-41-6
811450-61-0 811450-71-2 811450-73-4
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(chlorothiophenecarboxamide inhibition of blood coagulation factor Xa)
RN 697284-32-5 CAPLUS
CN 2-Thiophenecarboxamide,
5-chloro-N-[(1R)-3-methyl-1-[[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]butyl]- (CA INDEX NAME)

Absolute stereochemistry.

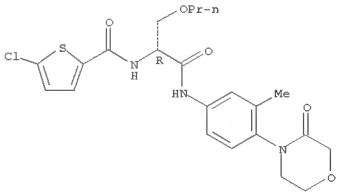


RN 697284-39-2 CAPLUS
CN 2-Thiophenecarboxamide,
5-chloro-N-[(1R)-1-methyl-2-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.

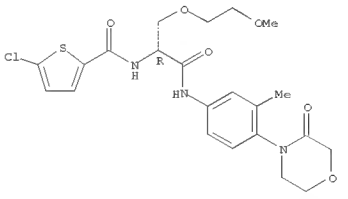
RN 811450-71-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R)-2-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-oxo-1-(propoxymethyl)ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 811450-73-4 CAPLUS
CN 2-Thiophenecarboxamide,
5-chloro-N-[(1R)-1-[(2-methoxyethoxy)methyl]-2-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.



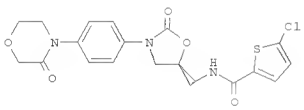
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:564131 CAPLUS
DOCUMENT NUMBER: 141:106454
TITLE: Procedure for the production of 5-Chloro-N-({(5S)-2-oxo-3-[4-(3-oxo-4-morpholinyl)-phenyl]-1,3-oxazolidin-5-yl}-methyl)-2-thiophenecarboxamide
INVENTOR(S): Thomas, Christian R.
PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany
SOURCE: Ger. Offen., 8 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10300111	A1	20040715	DE 2003-10300111	20030107
CA 2512504	A1	20040722	CA 2003-2512504	20031224
WO 2004060887	A1	20040722	WO 2003-EP14871	20031224
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003296728	A1	20040729	AU 2003-296728	20031224
EP 1583761	A1	20051012	EP 2003-814467	20031224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006513227	T	20060420	JP 2004-564216	20031224
US 20070149522	A1	20070628	US 2006-538342	20060605

PRIORITY APPLN. INFO.: DE 2003-10300111 A 20030107
WO 2003-EP14871 W 20031224

OTHER SOURCE(S): CASREACT 141:106454
GI

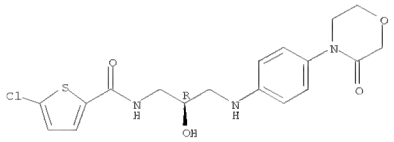


I

AB The present invention concerns a procedure for the production of 5-chloro-N-({(5S)-2-oxo-3-[4-(3-oxo-4-morpholinyl)phenyl]-1,3-oxazolidin-5-

L8 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
yl}-methyl)-2-thiophencarboxamide (I), from 5-chlorothiophene-2-carbonyl chloride, (2S)-3-aminopropane-1,2-diol and 4-(4-aminophenyl)-3-morpholinone. Thus, I was prepd. from 5-chlorothiophene-2-carboxylic acid via chlorination with SOCl2 in PhMe, amidation with (2S)-3-aminopropane-1,2-diol hydrochloride, regioselectively brominated with HBr in AcOH, aminated with 4-(4-aminophenyl)-3-morpholinone in PhMe contg. collidine in EtOH, and then underwent cyclocondensation with N,N'-carbonyldiimidazole in PhMe contg. 1-methyl-2-pyrrolidone.
IT 721401-53-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of, with phosgene or derivative; preparation of 5-chloro-N-({(5S)-2-oxo-3-[4-(3-oxo-4-morpholinyl)-phenyl]-1,3-oxazolidin-5-yl}-methyl)-2-thiophenecarboxamide)
RN 721401-53-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(2R)-2-hydroxy-3-[[4-(3-oxo-4-morpholinyl)phenyl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:450507 CAPLUS
DOCUMENT NUMBER: 141:7126
TITLE: Preparation of heterocyclylamides as inhibitors of Factor VIIA and Xa.
INVENTOR(S): Dorsch, Dieter; Cezanne, Bertram; Mederski, Werner; Tsaklakidis, Christos; Wurziger, Hanns; Gleitz, Johannes; van Amsterdam, Christoph
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: Ger. Offen., 26 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10254336	A1	20040603	DE 2002-10254336	20021121
CA 2506716	A1	20040603	CA 2003-2506716	20031030
WO 2004046138	A1	20040603	WO 2003-EP12080	20031030
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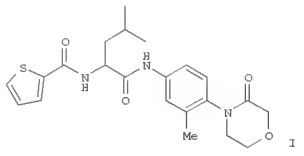
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003286145	A1	20040615	AU 2003-286145	20031030
EP 1562939	A1	20050817	EP 2003-776875	20031030
EP 1562939	B1	20090121		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006512321	T	20060413	JP 2004-552505	20031030
AT 421515	T	20090215	AT 2003-776875	20031030
US 20060052376	A1	20060309	US 2005-535246	20050518

PRIORITY APPLN. INFO.: DE 2002-10254336 A 20021121
WO 2003-EP12080 W 20031030

OTHER SOURCE(S): MARPAT 141:7126
GI

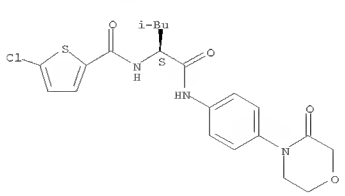
L8 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

AB DXNH[C(R1)2]mCONHWYT [D = (substituted) aryl, heteroaryl; X = CO, C(R3)2; W = [C(R3)2]n; R1 = H, (substituted) A; R3 = H, A; A = (fluoro-substituted) alkyl optionally interrupted by O, S, CH:CH; T = mono- or bicyclic (substituted) (unsatd.) (aromatic) carbocyclyl, heterocyclyl; Y = alkylene, cycloalkylene, (hetero)arylene; m = 1, 2; n = 0-2], were prepared for treatment of thrombosis, arteriosclerosis, inflammation, etc. (no data). Thus, (R)-2-[(5-chlorothiophene-2-carbonyl)amino]-4-methylpentanoic acid (preparation given), 4-(4-amino-2-methylphenyl)morpholin-3-one, and TBTU were stirred 18 h in DMF to give title compound (I).
IT 697284-28-9P 697284-29-0P 697284-31-4P
697284-32-5P 697284-39-2P 697284-40-5P
697284-41-6P 697284-42-7P 697284-43-8P
697284-46-1P 697284-47-2P 697284-48-3P
697284-51-8P 697284-53-0P 697284-55-2P
697284-56-3P 697284-58-5P 697284-59-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclylamides as inhibitors of Factor VIIA and Xa)
RN 697284-28-9 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1S)-3-methyl-1-[[[4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]butyl]- (CA INDEX NAME)

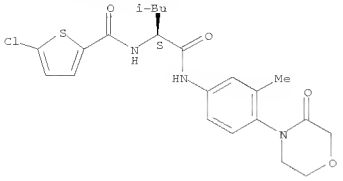
Absolute stereochemistry.



RN 697284-29-0 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1S)-3-methyl-1-[[[3-methyl-4-(3-oxo-4-

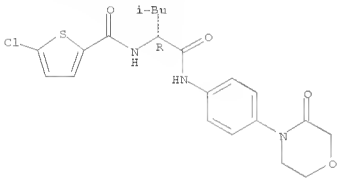
morpholinyl)phenyl]amino]carbonyl]butyl]- (CA INDEX NAME)

Absolute stereochemistry.



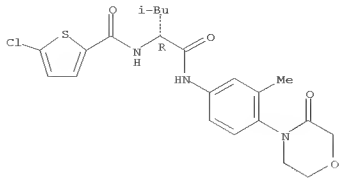
RN 697284-31-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R)-3-methyl-1-[[[4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]butyl]- (CA INDEX NAME)

Absolute stereochemistry.



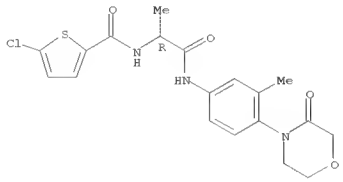
RN 697284-32-5 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R)-3-methyl-1-[[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]butyl]- (CA INDEX NAME)

Absolute stereochemistry.



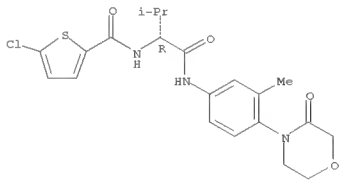
RN 697284-39-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R)-1-methyl-2-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.



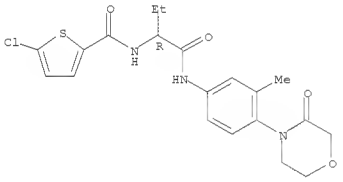
RN 697284-40-5 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R)-2-methyl-1-[[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



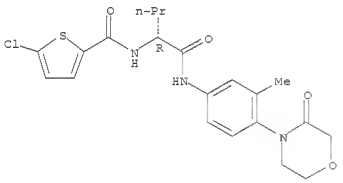
RN 697284-41-6 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R)-1-[[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



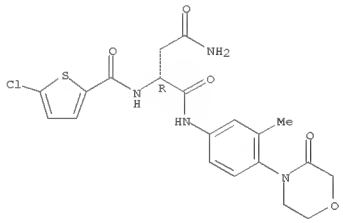
RN 697284-42-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R)-1-[[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]butyl]- (CA INDEX NAME)

Absolute stereochemistry.

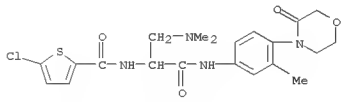


RN 697284-43-8 CAPLUS
CN Butanediamide, 2-[[(5-chloro-2-thienyl)carbonyl]amino]-N1-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

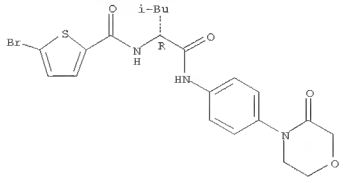


RN 697284-46-1 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[1-[(dimethylamino)methyl]-2-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)



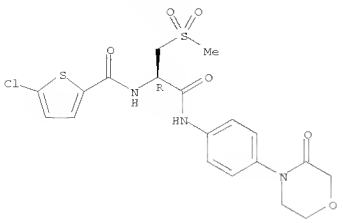
RN 697284-47-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-bromo-N-[(1R)-3-methyl-1-[[[4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]butyl]- (CA INDEX NAME)

Absolute stereochemistry.



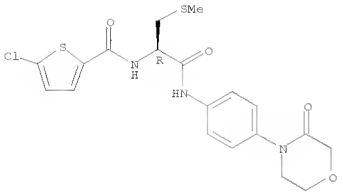
RN 697284-48-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R)-1-[(methylsulfonyl)methyl]-2-oxo-2-[[4-(3-oxo-4-morpholinyl)phenyl]amino]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 697284-51-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R)-1-[(methylthio)methyl]-2-oxo-2-[[4-(3-oxo-4-morpholinyl)phenyl]amino]ethyl]- (CA INDEX NAME)

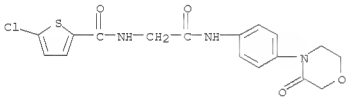
Absolute stereochemistry.

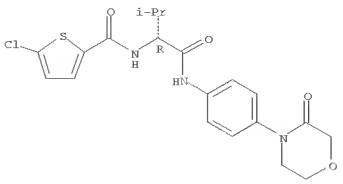


RN 697284-53-0 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R)-2-methyl-1-[[[4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]propyl]- (CA INDEX NAME)

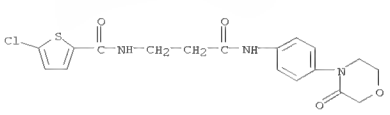
Absolute stereochemistry.

CN 2-Thiophenecarboxamide, 5-chloro-N-[2-oxo-2-[[4-(3-oxo-4-morpholinyl)phenyl]amino]ethyl]- (CA INDEX NAME)

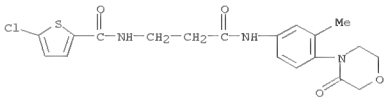




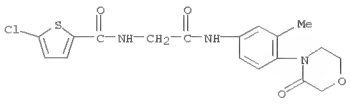
RN 697284-55-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[3-oxo-3-[[4-(3-oxo-4-morpholinyl)phenyl]amino]propyl]- (CA INDEX NAME)



RN 697284-56-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[3-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-3-oxopropyl]- (CA INDEX NAME)



RN 697284-58-5 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-oxoethyl]- (CA INDEX NAME)

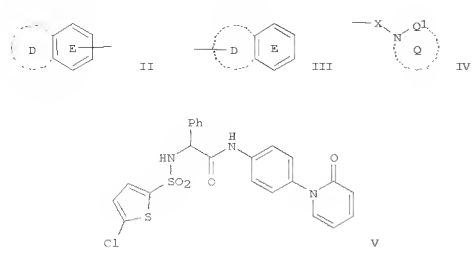


RN 697284-59-6 CAPLUS

ACCESSION NUMBER: 2004:308415 CAPLUS
DOCUMENT NUMBER: 140:321240
TITLE: Preparation of lactam-containing diaminoalkanes, β-amino acids, α-amino acids and derivatives thereof as factor Xa inhibitors
INVENTOR(S): Qiao, Jennifer X.; Han, Wei
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 172 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004031145	A2	20040415	WO 2003-US31079	20031001
WO 2004031145	A3	20040701		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20040077635	A1	20040422	US 2003-677063	20031001
AU 2003279735	A1	20040423	AU 2003-279735	20031001
EP 1558606	A2	20050803	EP 2003-773077	20031001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20070129361	A1	20070607	US 2007-622484	20070112
PRIORITY APPLN. INFO.:			US 2002-415366P	P 20021002
			US 2002-417208P	P 20021009
			US 2003-677063	A1 20031001
			WO 2003-US31079	W 20031001

OTHER SOURCE(S): MARPAT 140:321240
GI



II III IV

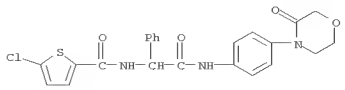
V

AB The title compds. PMM1 [I; one of P and M1 = G and the other -AB; G = II, III (wherein ring D, including the two carbon atoms of ring E to which it is attached, is (un)substituted 5-6 membered ring consisting of carbon atoms and 0-3 heteroatoms selected from N, O, S(O)0-2; ring D may contain 0-3 ring double bonds; ring E = (un)substituted Ph, pyridyl, pyrimidinyl, etc.; alternatively, ring D is absent); M = (un)substituted 3-8 membered linear chain consisting of carbon atoms, carbonyl groups, thiocarbonyl, heteroatoms, and there are 0-2 double bonds and 0-1 triple bond; A = (un)substituted carbocycle, 5-12 membered heterocycle; B = IV (wherein Q1 = CO, SO2; ring Q = (un)substituted 4-8 membered monocyclic or bicyclic ring optionally containing optionally heteroatoms, and optionally fused, etc.; X = absent, CO, SO, SO2, etc.)], useful as inhibitors of trypsin-like serine proteases, specifically factor Xa for treating thromboembolic disorder, were prepared E.g., a 3-step synthesis of V, starting from 1-(4-aminophenyl)-1H-pyridin-2-one and Boc-DL-PHG-OH, was given. The number of compds. I were found to exhibit Ki's of ≤ 10 μM against human factor Xa. The pharmaceutical composition comprising the compound I is claimed.

IT 678179-21-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of lactam-containing diaminoalkanes, β-amino acids, α-amino acids and derivs. thereof as factor Xa inhibitors for treating thromboembolic disorder)

RN 678179-21-0 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[2-oxo-2-[[4-(3-oxo-4-morpholinyl)phenyl]amino]-1-phenylethyl]- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2003:5775 CAPLUS
DOCUMENT NUMBER: 138:89797
TITLE: Preparation of substituted oxazolidinones for combinational therapy in the treatment and/or prophylaxis of thromboembolic diseases
INVENTOR(S): Straub, Alexander; Lampe, Thomas; Pernerstorfer, Josef; Perzborn, Elisabeth; Pohlmann, Jens; Roehrig, Susanne; Schlemmer, Karl-Heinz
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 161 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000256	A1	20030103	WO 2002-EP6237	20020607
WO 2003000256	A9	20030206		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10129725	A1	20030102	DE 2001-10129725	20010620
CA 2451258	A1	20030103	CA 2002-2451258	20020607
AU 2002312982	A1	20030108	AU 2002-312982	20020607
AU 2002312982	B2	20080124		
EE 200400020	A	20040415	EE 2004-20	20020607
EP 1411932	A1	20040428	EP 2002-738154	20020607
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002010941	A	20040608	BR 2002-10941	20020607
CN 1523986	A	20040825	CN 2002-812411	20020607
HU 2004000240	A2	20040830	HU 2004-240	20020607
HU 2004000240	A3	20060228		
JP 2004534083	T	20041111	JP 2003-506901	20020607
NZ 530223	A	20050729	NZ 2002-530223	20020607
RU 2321407	C2	20080410	RU 2004-101404	20020607
IN 2003DN02042	A	20090227	IN 2003-DN2042	20031128
MX 2003011519	A	20041028	MX 2003-11519	20031211
BG 108443	A	20050331	BG 2003-108443	20031212
ZA 2003009799	A	20041220	ZA 2003-9799	20031218
NO 2003005743	A	20040217	NO 2003-5743	20031219
US 20040242660	A1	20041202	US 2004-481297	20040628
IN 2004DN04054	A	20070427	IN 2004-DN4054	20041220

PRIORITY APPLN. INFO.: DE 2001-10129725 A 20010620
WO 2002-EP6237 W 20020607
IN 2003-DN2042 A3 20031128

OTHER SOURCE(S): MARPAT 138:89797
GI

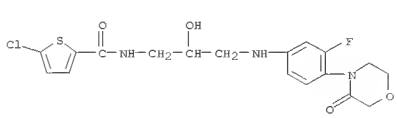
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to combinations of (A) oxazolidinones I [R1 = 5-X-2-thienyl (X = Cl, Br, Me, CF3); R2 = DA; A = phenylene; D = 5- or 6-membered heterocyclic ring containing S, N or O; R4 - R8 = H], or their pharmaceutically acceptable salts, hydrates, prodrugs or their mixts. and (B) other pharmaceutically active ingredients; to a method for producing said combinations; and to the use thereof as medicaments, in particular for the treatment and/or prophylaxis of thrombo-embolic diseases. Thus, the claimed oxazolone II was prepared from epoxide III via epoxide ring opening with aniline derivative IV, cyclization with carbonyldiimidazole, and N-acylation with 5-chlorothiophene-2-sulfonyl chloride. II was tested for antithrombotic activity in the arteriovenous shunt model (Rat) after [ED50 = 3 mg/kg (p.o.); IC50 = 0.7 nM]; II had a synergistic effect when used in combination with clopidogrel.

IT 482305-96-4P 482305-98-6P 482306-15-0P
482306-16-1P 482306-17-2P 482306-20-7P
482306-21-8P 482306-22-9P 482306-23-0P
482306-24-1P 482306-25-2P 482306-26-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with carbonyldiimdazole; preparation of substituted oxazolidinones for combinational therapy in the treatment and/or prophylaxis of thromboembolic diseases)

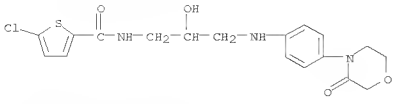
RN 482305-96-4 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[3-[[3-fluoro-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)

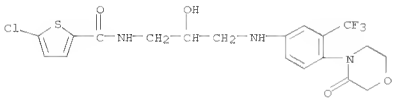


RN 482305-98-6 CAPLUS

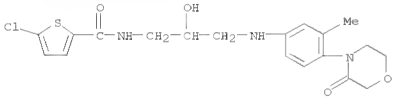
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-hydroxy-3-[[4-(3-oxo-4-morpholinyl)phenyl]amino]propyl]- (CA INDEX NAME)



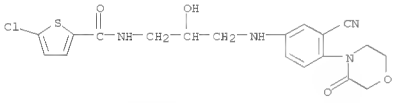
RN 482306-15-0 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-hydroxy-3-[[4-(3-oxo-4-morpholinyl)-3-(trifluoromethyl)phenyl]amino]propyl]- (CA INDEX NAME)



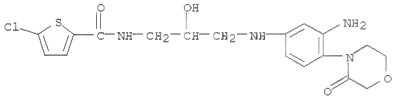
RN 482306-16-1 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-hydroxy-3-[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]propyl]- (CA INDEX NAME)



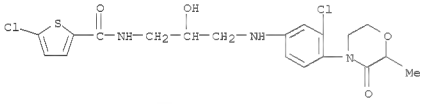
RN 482306-17-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[3-[[3-cyano-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)



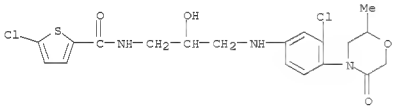
RN 482306-20-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[3-[[3,5-dimethyl-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)



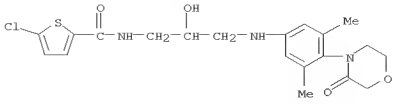
RN 482306-25-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[3-[[3-chloro-4-(2-methyl-3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)



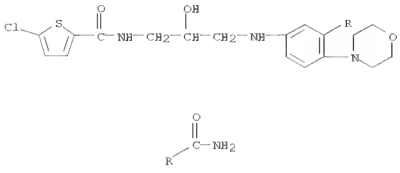
RN 482306-26-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[3-[[3-chloro-4-(2-methyl-5-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)



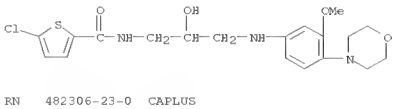
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



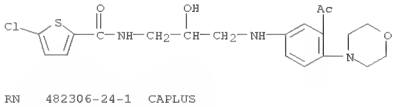
RN 482306-21-8 CAPLUS
CN 2-Thiophenecarboxamide, N-[3-[[3-(aminocarbonyl)-4-(4-morpholinyl)phenyl]amino]-2-hydroxypropyl]-5-chloro- (CA INDEX NAME)



RN 482306-22-9 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-hydroxy-3-[[3-methoxy-4-(4-morpholinyl)phenyl]amino]propyl]- (CA INDEX NAME)



RN 482306-23-0 CAPLUS
CN 2-Thiophenecarboxamide, N-[3-[[3-acetyl-4-(4-morpholinyl)phenyl]amino]-2-hydroxypropyl]-5-chloro- (CA INDEX NAME)



RN 482306-24-1 CAPLUS
CN 2-Thiophenecarboxamide, N-[3-[[3-amino-4-(3-oxo-4-morpholinyl)phenyl]amino]-2-hydroxypropyl]-5-chloro- (CA INDEX NAME)

=>
=> log y
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	144.22	526.61

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-18.86	-18.86

STN INTERNATIONAL LOGOFF AT 09:12:17 ON 05 JUN 2009